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L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-22-3 CAPLUS
CN 1H-Pyrazole, 4-[(3,5-dichlorophenyl)methyl]-3,5-dimethyl- (9CI) (CA
INDEX
NAME)

RN 390355-37-0 CAPLUS
CN 1H-Pyrazole-1-propanoic acid,
4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-,
ethyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-40-5 CAPLUS
CN 1H-Pyrazole-1-methanol, 4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl- (9CI)
(CA INDEX NAME)

RN 390355-42-7 CAPLUS
CN 1H-Pyrazole-1-ethanamine, 4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl(9CI) (CA INDEX NAME)

LB ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-45-0 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 4-[(3,5-dichlorophenyl)thio]-5-ethyl-1-(2-hydroxyethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 390355-46-1 CAPLUS
CN 1H-Pyrazole-5-carboxylic acid, 4-[(3,5-dichlorophenyl)thio]-3-ethyl-1-(2-hydroxyethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 390355-83-6 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 4-[(3,5-dichlorophenyl)methyl]-1-(2-hydroxyethyl)-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-92-7 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dibromophenyl)thio]-3,5-diethyl- (9CI)
(CA
INDEX NAME)

390355-00-7P, 2-[4-(3,5-Dichlorobenzyl)-3-isopropyl-5-methyl-1Hpyrazol-1-yl]ethanol 390355-02-99, 2-[4-(3-Chlorobenzyl)-3isopropyl-5-methyl-1H-pyrazol-1-yl]ethanol 390355-03-0P, 2-[4-(3,5-Difluorobenzyl)-3-isopropyl-5-methyl-1H-pyrazol-1-yl]ethanol 390355-04-1P, 2-[4-(3-Fluorobenzyl)-3-isopropyl-5-methyl-1Hpyrazol-1-yl]ethanol 390355-05-2P, 2-[4-(3,5-Dichlorobenzyl)-5isopropyl-3-methyl-1H-pyrazol-1-yl]ethanol 390355-07-4P, Ethyl [4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1H-pyrazol-1-yl]acetate 390355-08-5P, Ethyl [4-(3,5-dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]acetate 390355-09-6P, Ethyl [4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1H-pyrazol-1-yl]acetate 390355-11-0P, 2-[4-(3,5-Dichlorobenzyl)-3,5-dimethyl-1H-pyrazol-1-yl]ethanol 390355-12-1P, 2-[4-(3,5-Dichlorobenzyl)-5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]ethanol 390355-13-2P, 2-[4-[(4-Chlorophenyl)sulfanyl]-3,5-dimethyl-1H-pyrazol-1-yl]ethanol 390355-14-3P, Ethyl [4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1Hpyrazol-1-yl]acetate 390355-15-4P, Ethyl [4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1H-pyrazol-1-yl]acetate 390355-18-7P, 4-(3-Fluorobenzyl)-3-isopropyl-5-methyl-1H-pyrazole 390355-21-2P

2-[4-[(3,5-Dichlorophenyl)sulfonyl]-3,5-dimethyl-1H-pyrazol-1-yl)ethanol

ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) 390355-23-4P, 2-[4-(3,5-Dichlorobenzyl)-3,5-dimethyl-1H-pyrazol-1yl]ethanamine 390355-24-5P, 2-[4-(3,5-Dichlorobenzyl)-5-ethyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]ethanol 390355-25-6P, 2-[4-(3,5-Dichlorobenzyl)-3-ethyl-5-(trifluoromethyl)-1H-pyrazol-1yl]ethanol 390355-26-7P, 2-[4-(3,5-Dichlorobenzyl)-5-ethyl-3methyl-1H-pyrazol-1-yl]ethanol 390355-27-8P, 2-[4-(3,5-Dichlorobenzyl)-3-ethyl-5-methyl-1H-pyrazol-1-yl]ethanol 390355-32-5P, (3,5-Dichlorophenyl)[3,5-diethyl-1-(2-hydroxyethyl)-1H-pyrazol-4-yl]methanone 390355-33-6P, (.+-.)-2-[4-[(3,5-Dichlorophenyl) (methoxy) methyl) -3.5-diethyl-1H-pyrazol-1-yl) ethanol 390355-34-7P, 2-[4-(2,6-Difluorobenzyl)-3,5-diethyl-1H-pyrazol-1yl]ethanol 390355-35-8P, 2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl carbamate 390355-36-9P, Methyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl)propanoate 390355-38-1P, 3-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1yl]propanamide 390355-39-2P, 3-[4-(3,5-Dichlorobenzyl)-3,5diethyl-1H-pyrazol-1-yl]-1-propanol 390355-41-69, [4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]methyl carbamate 390355-43-8P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]benzamide 390355-44-9P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-1-methyl-1H-imidazole-4-sulfonamide 390355-47-2P, 4-[(3,5-Dichlorophenyl)sulfanyl]-5-ethyl-1-(2hydroxyethyl)-1H-pyrazole-3-carboxamide 390355-48-3P,

2-[4-[(3,5-Dichlorophenyl)sulfanyl]-5-ethyl-3-(hydroxymethyl)-1H-pyrazol-1yl]ethanol 390355-49-4P, 3-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]-1-propanamine 390355-50-7P,

2-[4-[(3,5-Dichlorophenyl)sulfanyl]-3-ethyl-5-(hydroxymethyl)-1H-pyrazol-1yl]ethanol 390355-51-8P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5diethyl-1H-pyrazol-1-yl]ethyl]-2,2-difluoroacetamide 390355-52-9P , N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1yl]ethyl]ethanediamide 390355-53-0P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-6-oxo-1,6-dihydro-3pyridazinecarboxamide 390355-54-1P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-1,5-dimethyl-1H-pyrazole-3-carboxamide 390355-55-2P, 2-[(Aminocarbonyl)amino]-N-[2-[4-(3,5dichlorobenzyl) -3,5-diethyl-1H-pyrazol-1-yl]ethyl]acetamide 390355-56-3P, N-(2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-ethoxyacetamide 390355-57-4P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-pyridinecarboxamide 390355-58-5P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-methoxyacetamide 390355-59-6P,

N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-6-oxo-1,6-b-1-yl]dihydro-2-pyridinecarboxamide 390355-60-9P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-pyrazinecarboxamide 390355-61-0P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-oxo-2H-pyran-5-carboxamide 390355-62-1P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-(1Htetrazol-1-yl)acetamide 390355-63-2P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]tetrahydro-2furancarboxamide 390355-64-3P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5diethyl-1H-pyrazol-1-yl]ethyl]-3-hydroxybenzamide 390355-65-4P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl)ethyl}-2-

ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

390355-03-0 CAPLUS 1H-Pyrazole-1-ethanol, 4-[(3,5-difluorophenyl)methyl]-5-methyl-3-(1methylethyl) - (9CI) (CA INDEX NAME)

390355-04-1 CAPLUS 1H-Pyrazole-1-ethanol, 4-[(3-fluorophenyl)methyl)-5-methyl-3-(1methylethyl) - (9CI) (CA INDEX NAME)

390355-05-2 CAPLUS 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)methyl]-3-methyl-5-(1methylethyl) - (9CI) (CA INDEX NAME)

(Continued) ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS hydroxyacetamide 390355-66-5P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5diethyl-1H-pyrazol-1-yl]ethyl]-1,2,3-thiadiazole-4-carboxamide 390355-67-6P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-(dimethylamino)acetamide 390355-68-7P, 2-Cyano-N-{2-{4-(3,5-dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1yl]ethyl]acetamide 390355-69-8P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-fluorobenzamide 390355-70-1P , [4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]methyl phenyl imidodicarbonate 390355-71-29, N-[2-[4-(3,5-Dichlorobenzyl)-3,5diethyl-1H-pyrazol-1-yl]ethyl]-N'-(2,6-difluorobenzoyl)urea 390355-72-3P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-N'-propylurea 390355-73-4P, N-Benzoyl-N'-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]urea 390355-74-5P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2,4-dioxo-1,2,3,4-tetrahydro-5-pyrimidinesulfonamide 390355-75-6P, Ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1Hpyrazole-3-carboxylate 390355-76-7P, [4-[(3,5-Dichlorophenyl)sulfanyl)-5-ethyl-1-(2-hydroxyethyl)-1H-pyrazol-3yl]acetonitrile 390355-77-8P, [4-[(3,5-Dichlorophenyl)sulfonyl]-5-ethyl-1-(2-hydroxyethyl)-1H-pyrazol-3-yl]acetonitrile 390355-78-99, 2-[4-[(3,5-Dichlorophenyl)sulfanyl]-3,5-diethyl-1Hpyrazol-1-yl]ethanol 390355-84-7P, Ethyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-3-methyl-1H-pyrazole-5-carboxylate RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; prepn. of pyrazole derivs. as reverse transcriptase

inhibitors for the treatment of HIV infection and AIDS) 390355-00-7 CAPLUS

1H-Pyrazole-1-ethanol, 4-{(3,5-dichlorophenyl)methyl}-5-methyl-3-(1methylethyl) - (9CI) (CA INDEX NAME)

390355-02-9 CAPLUS 1H-Pyrazole-1-ethanol, 4-[(3-chlorophenyl)methyl)-5-methyl-3-(1methylethyl) - (9CI) (CA INDEX NAME)

ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

390355-07-4 CAPLUS 1H-Pyrazole-1-acetic acid, 4-[(3,5-dichlorophenyl)methyl]-3-methyl-5-(1methylethyl) -, ethyl ester (9CI) (CA INDEX NAME)

390355-08-5 CAPLUS 1H-Pyrazole-1-acetic acid, 4-{(3,5-dichlorophenyl)methyl}-3,5-diethyl-, ethyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-09-6 CAPLUS
CN 1H-Pyrazole-1-acetic acid, 4-[(3-fluorophenyl)methyl)-5-methyl-3-(1-methylethyl)-, ethyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

N 390355-12-1 CAPLUS
N 1H-Pyrazole-1-ethanol, 4-{(3,5-dichlorophenyl)methyl}-5-methyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 390355-13-2 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-{(4-chlorophenyl)thio}-3,5-dimethyl- (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-14-3 CAPLUS
CN 1H-Pyrazole-1-acetic acid, 4-[(3-chlorophenyl)methyl]-5-methyl-3-(1-methylethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 390355-15-4 CAPLUS
CN 1H-Pyrazole-1-acetic acid, 4-[(3,5-difluorophenyl)methyl]-5-methyl-3-(1-methylethyl)-, ethyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-18-7 CAPLUS
CN 1H-Pyrazole, 4-[(3-fluorophenyl)methyl]-3-methyl-5-(1-methylethyl)- (9CI)
(CA INDEX NAME)

RN 390355-21-2 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)sulfonyl]-3,5-dimethyl(9CI) (CA INDEX NAME)

Kamal Saeed

390355-25-6 CAPLUS

(CA INDEX NAME)

ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-23-4 CAPLUS
CN 1H-Pyrazole-1-ethanamine, 4-[(3,5-dichlorophenyl)methyl]-3,5-dimethyl-(9CI) (CA INDEX NAME)

CH2-CH2-NH2

Me

CH2

C1

C1

RN 390355-24-5 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)methyl]-5-ethyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

CH2-CH2-OH

F3C

CH2-CH2-OH

1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)methyl]-3-ethyl-5-

(trifluoromethyl) - (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-32-5 CAPLUS
CN Methanone,
(3,5-dichlorophenyl)[3,5-diethyl-1-(2-hydroxyethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

CH2-CH2-OH

Et

Et

C1

RN 390355-33-6 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)methoxymethyl]-3,5-diethyl-(9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

CH2-CH2-OH

CC1

RN 390355-26-7 CAPLUS
CN 1H-Pyrazole-1-echanol, 4-[(3,5-dichlorophenyl)methyl]-5-ethyl-3-methyl(9C1) (CA INDEX NAME)

CH2-CH2-OH

N Et

CH2

CH2

CH2-CH2-OH

N Et

CH2

CH2-CH2-OH

N Et

CH2-CH2-OH

N Et

CH2-CH2-OH

N Et

CH2-CH2-OH

N Me

CH2-CH2-OH

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

CH2-CH2-OH

Et

CH2

F

RN 390355-35-8 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-{(3,5-dichlorophenyl)methyl}-3,5-diethyl-,
carbamate (ester) (9CI) (CA INDEX NAME)

Et CH2

Cl Cl

RN 390355-36-9 CAPLUS
CN 1H-Pyrazole-1-propanoic acid,
4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-,
methyl ester (9Cl) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-38-1 CAPLUS
CN 1H-Pyrazole-1-propanamide, 4-{(3,5-dichlorophenyl)methyl}-3,5-diethyl(9CI) (CA INDEX NAME)

RN 390355-39-2 CAPLUS
CN 1H-Pyrazole-1-propanol, 4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl- (9CI)
(CA INDEX NAME)

LB ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-41-6 CAPLUS
CN 1H-Pyrazole-1-methanol, 4-[(3,5-dichlorophenyl)methyl}-3,5-diethyl-,
carbamate (ester) (9CI) (CA INDEX NAME)

RN 390355-43-8 CAPLUS
CN Benzamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-lH-pyrazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

LB ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355~44~9 CAPLUS
CN 1H-Imidazole-4-sulfonamide, N-[2~{4~[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-1~methyl- (9CI) (CA INDEX NAME)

RN 390355-47-2 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 4-[(3,5-dichlorophenyl)thio]-5-ethyl-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

RN 390355-48-3 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)thio]-5-ethyl-3-

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) (hydroxymethyl) - (9CI) (CA INDEX NAME)

RN 390355-49-4 CAPLUS
CN 1H-Pyrazole-1-propanamine, 4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl(9CI) (CA INDEX NAME)

RN 390355-50-7 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)thio]-3-ethyl-5(hydroxymethyl)- (9CI) (CA INDEX NAME)

RN 390355-51-8 CAPLUS

(Continued) ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS Acetamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2,2-difluoro- (9CI) (CA INDEX NAME)

RN 390355-52-9 CAPLUS Ethanediamide,

[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1yllethyll- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-CH_2-NH-C-C-NH_2 \\ \hline \\ N \\ Et \\ CH_2 \\ \hline \\ C1 \\ \end{array}$$

390355-53-0 CAPLUS 3-Pyridazinecarboxamide,

N-{2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl}-1,6-dihydro-6-oxo- (9CI) (CA INDEX NAME)

ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

390355-56-3 CAPLUS

Acetamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1yl]ethyl]-2-ethoxy- (9CI) (CA INDEX NAME)

390355-57-4 CAPLUS

2-Pyridinecarboxamide, N-{2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1Hpyrazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

390355-58-5 CAPLUS

Acetamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1yl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

390355-54-1 CAPLUS

1H-Pyrazole-3-carboxamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-1,5-dimethyl- (9CI) (CA INDEX NAME)

390355-55-2 CAPLUS

Acetamide, 2-[(aminocarbonyl)amino]-N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS

RN 390355-59-6 CAPLUS

2-Pyridinecarboxamide, CN

N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1Hpyrazol-1-yl]ethyl]-1,6-dihydro-6-oxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et} & \text{N} & \text{CH}_2\text{-}\text{CH}_2\text{-}\text{NH}\text{-}\text{C} \\ & \text{Cl} & \text{Cl} & \\ \end{array}$$

390355-60-9 CAPLUS

Pyrazinecarboxamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1Hpyrazol-1-yl]ethyl] - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Et & N & CH_2 - CH_2 - NH - C & N \\ \hline \\ CH_2 & Et & \\ \hline \\ C1 & C1 & \\ \end{array}$$

390355-61-0 CAPLUS

2H-Pyran-5-carboxamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-

ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) 1H-pyrazol-1-yljethyl]-2-oxo- (9CI) (CA INDEX NAME)

RN 390355-62-1 CAPLUS CN 1H-Tetrazole-1-acetamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

RN 390355-63-2 CAPLUS 2-Furancarboxamide, N-[2-[4-(3,5-dichlorophenyl)methyl]-3,5-diethyl-1Hpyrazol-1-yl]ethyl]tetrahydro- (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

390355-67-6 CAPLUS Acetamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-(dimethylamino)- (9CI) (CA INDEX NAME)

390355-68-7 CAPLUS

Acetamide, 2-cyano-N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1Hpyrazol-1-yl]ethyl] - (9CI) (CA INDEX NAME)

ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

390355-64-3 CAPLUS

Benzamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1yl]ethyl]-3-hydroxy- (9CI) (CA INDEX NAME)

390355-65-4 CAPLUS RN

Acetamide, N-{2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1yl]ethyl]-2-hydroxy- (9CI) (CA INDEX NAME)

390355-66-5 CAPLUS

CN 1,2,3-Thiadiazole-4-carboxamide,

N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS 390355-69-8 CAPLUS

Benzamide, N~[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1yl]ethyl]-2-fluoro- (9CI) (CA INDEX NAME)

390355-70-1 CAPLUS

Imidodicarbonic acid, [4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1Hpyrazol-1-yl]methyl phenyl ester (9CI) (CA INDEX NAME)

390355-71-2 CAPLUS Benzamide,

N-[[[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]amino]carbonyl]-2,6-difluoro-(9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-72-3 CAPLUS
CN Urea, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-N'-propyl- (9C1) (CA INDEX NAME)

RN 390355-73-4 CAPLUS
CN Benzamide,
N-[[[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1yl]ethyl]amino[carbonyl]- (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

N 390355-74-5 CAPLUS N 5-Pyrimidinesulfonamide,

N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-1,2,3,4-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)

RN 390355-75-6 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 4-[(3,5-dichlorophenyl)thio]-5-ethyl-, ethyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-76-7 CAPLUS
CN 1H-Pyrazole-3-acetonitrile, 4-[(3,5-dichlorophenyl)thio]-5-ethyl-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

RN 390355-77-8 CAPLUS
CN 1H-Pyrazole-3-acetonitrile,
4-[(3,5-dichlorophenyl)sulfonyl]-5-ethyl-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

RN 390355-78-9 CAPLUS

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)thio]-3,5-diethyl- (9CI)
(CA INDEX NAME)

RN 390355-84-7 CAPLUS
CN 1H-Pyrazole-5-carboxylic acid, 4-[(3,5-dichlorophenyl)methyl]-1-(2-hydroxyethyl)-3-methyl-, ethyl ester (9CI) (CA INDEX NAME)

390356-22-6P, 4-(3,5-Dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1H-pyrazole-3-carboxylic acid 390356-29-3P, [1-[2-[(tert-Butyldimethylsilyl)oxy]ethyl]-3,5-diethyl-1H-pyrazol-4-yl](3,5-dichlorophenyl)methanol 390356-30-6P, [1-[2-[(tert-Butyldimethylsilyl)oxy]ethyl]-3,5-diethyl-1H-pyrazol-4-yl](3,5-dichlorophenyl)methanone 390356-31-7P, 1-[2-[(tert-

Butyldimethylsilyl)oxy]ethyl]-4-[(3,5-dichlorophenyl)(methoxy)methyl]-3,5-diethyl-1H-pyrazole 390356-35-1P, Ethyl 1-[2-[(tert-

butyldimethylsilyl)oxy]ethyl]-4-{(3,5-dichlorophenyl)sulfanyl}-5-ethyl-1H-pyrazole-3-carboxylate 390356-36-2P, [1-{2-{(tert-

Butyldimethylsilyl)oxy)ethyl]-4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1H-pyrazol-3-yl]methanol 390356-37-3P, [1-[2-[(tert-

Butyldimethylsilyl)oxy]ethyl]-4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1H-pyrazol-3-yl)acetonitrile 390356-4\$-3P, 1-[2-[(tert-

Butyldimethylsilyl)oxy]ethyl]-4-[(3,5-dibromophenyl)sulfanyl]-3,5-diethyl-

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
1H-pyrazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
 (intermediate; prepn. of pyrazole derivs. as reverse transcriptase
 inhibitors for the treatment of HIV infection and AIDS)
RN 390356-22-6 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 4-{(3,5-dichlorophenyl)methyl]-1-(2hydroxyethyl)-5-methyl- (9CI) (CA INDEX NAME)

CH2-CH2-OH
N Me
HO2C CH2

RN 390356-29-3 CAPLUS
CN 1H-Pyrazole-4-methanol, .alpha.-(3,5-dichlorophenyl)-1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-3,5-diethyl- (9CI) (CA INDEX NAME)

CH2-CH2-O-Si-Bu-t
Me
Me
Me
Me
Me
Me
CH2-CH2-O-Si-Bu-t
Me
Cl
Cl

RN 390356-30-6 CAPLUS CN Methanone,

(3,5-dichlorophenyl)[1-[2-[[(1,1-dimethylethyl)dimethylsilyl]ox y]ethyl]-3,5-diethyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME) L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390356-31-7 CAPLUS
CN 1H-Pyrazole, 4-[(3,5-dichlorophenyl)methoxymethyl]-1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-3,5-diethyl- (9CI) (CA INDEX NAME)

CH2-CH2-O-Si-Bu-t
Me
N
Et
CH-OMe
Cl
Cl

RN 390356-35-1 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 4-{(3,5-dichlorophenyl)thio}-1-[2-[[(1,1-dimethylethyl)dimethylsilyl)oxy]ethyl]-5-ethyl-, ethyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390356-36-2 CAPLUS
CN 1H-Pyrazole-3-methanol, 4-[(3,5-dichlorophenyl)thio]-1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-5-ethyl- (9CI) (CA INDEX NAME)

RN 390356-37-3 CAPLUS
CN 1H-Pyrazole-3-acetonitrile, 4-[(3,5-dichlorophenyl)thio]-1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-5-ethyl- (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390356-45-3 CAPLUS
CN 1H-Pyrazole, 4-[(3,5-dibromophenyl)thio]-1-{2-[[(1,1-dimethylethyl)dimethylsilyl]oxy}ethyl]-3,5-diethyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L8 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2003 ACS 2002:31482 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 136:79802 Modulators of cellular proliferation and TITLE: angiogenesis. methods for use and identification thereof Pillarisetti, Sivaram; Goldberg, Itzhak D. INVENTOR (S): North Shore-Long Island Jewish Health System, USA PATENT ASSIGNEE (5): PCT Int. Appl., 107 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. DATE PATENT NO. KIND DATE ------WO 2002002593 WO 2001-US20849 20010629 A2 20020110 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 2001077854 A5 20020114 AU 2001-77854 20010629 PRIORITY APPLN. INFO.: US 2000-606628 A2 20000629 WO 2001-US20849 W 20010629 OTHER SOURCE(S): MARPAT 136:79802 AB The invention is directed to small org. mols. and peptides having the ability to mimic or agonize hepatocyte growth factor/ scatter factor (HGF/SF) activity, or inhibit or antagonize HGP/SF activity, the former useful for promoting, for example, vascularization of tissues or organs for promoting wound or tissue healing, or augmenting or restoring blood flow to ischemic tissues such as the heart following myocardial infarction. Inhibition of cellular growth or proliferation is beneficial in the treatment, for example, of inflammatory diseases such as inflammatory joint and skin diseases, and dysproliferative diseases such as cancer. 261349-35-3 387352-92-3 387352-93-4 387352-94-5 387352-95-6 387352-96-7 367352-97-8 367352-98-9 387352-99-0 387353-00-6 387353-01-7 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (peptide and small-mol. modulators of cellular proliferation and angiogenesis) RN 261349-35-3 CAPLUS lH-Pyrazole, 4-[(2-chloro-6-fluorophenyl)methyl]-3,5-bis(1,1dimethylethyl) - (9CI) (CA INDEX NAME)

L8 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 387352-94-5 CAPLUS
CN 1H-Pyrazole, 4-[(2-chloro-6-fluorophenyl)methyl]-1-[(3,4-dichlorophenyl)sulfonyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 387352-95-6 CAPLUS
CN 1H-Pyrazole, 4-[(2-chloro-6-fluorophenyl)methyl]-1,3,5-trimethyl- (9CI)
(CA INDEX NAME)

RN 387352-96-7 CAPLUS
CN 1H-Pyrazole, 4-[(2-chloro-6-fluorophenyl)methyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 387352-97-8 CAPLUS

L8 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

IN 387352-92-3 CAPLUS
IN 1H-Pyrazole, 4-[(2,6-dichlorophenyl)methyl]-1-[[3-(2,6-dichlorophenyl)-5-methyl-4-isoxazolyl]carbonyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 387352-93-4 CAPLUS
CN 1H-Pyrazole, 4-[(2-chloro-6-fluorophenyl)methyl]-1-[[3-(2,6-dichlorophenyl)-5-methyl-4-isoxazolyl]carbonyl]-3,5-dimethyl- (9CI) (CAINDEX NAME)

L8 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Pyrazole-1-propanenitrile,
4-[(2,6-dichlorophenyl)methyl]-3,5-dimethyl(9C1) (CA INDEX NAME)

RN 387352-98-9 CAPLUS CN 1H-Pyrazole, 4-[(2-chloro-6-fluorophenyl)methyl]-1-(2,6-dichlorobenzoyl)-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 387352-99-0 CAPLUS
CN 1H-Pyrazole, 4-[(2-chloro-6-fluorophenyl)methyl]-1-(2,2-dimethyl-1-oxopropyl)-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 387353-00-6 CAPLUS
CN 1H-Pyrazole, 1-{4-chlorobenzoyl}-4-{(2-chloro-6-fluorophenyl)methyl}-3,5dimethyl- (9CI) (CA INDEX NAME)

RN 387353-01-7 CAPLUS
CN 1H-Pyrazole, 4-[(2-chloro-6-fluorophenyl)methyl]-3,5-dimethyl-1-(2-thienylcarbonyl)- (9CI) (CA INDEX NAME)

OTHER SOURCE(S):

L8 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2001:8\$1126 CAPLUS DOCUMENT NUMBER: 135:371760 Preparation of pyrazolylpyrimidines and analogs as TITLE: TNF-.alpha. signaling modulators INVENTOR (S): Sneddon, Scott F.; Kane, John L.; Hirth, Bradford H.; Vinick, Fred; Qiao, Shuang; Nahill, Sharon R. PATENT ASSIGNEE(S): Genzyme Corporation, USA PCT Int. Appl., 108 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: KIND DATE APPLICATION NO. DATE _____ -----WO 2001087849 A2 20011122 WO 2001-US15027 20010510 WO 2001087849 A3 20020606 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,

UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002119988 A1 20020829 US 2001-852965 20010510

PRIORITY APPLN. INFO:

US 2000-203784P P 20000512

US 2000-205213P P 20000518

MARPAT 135:371760

 $\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{N} \\$

Title compds. [I; R1 = H or NH2; R2 = ZZ3(CH2)nR; R = (un)substituted Ph or -heterocyclyl; R4 = (alkyl-substituted) 2-pyridinyl or -pyrazinyl; Z = (un)substituted pyrazole-1,4-diyl; Z1,Z2 = N or CH; Z3 = 0, CH2, S, SO2;

n = 0-2] were prepd. Thus, 4-(Me2HC)C6H4OH was condensed with (MeCO)2CHN2 and the product cyclocondensed with 4-(2-pyridinyl)-2-pyrimidinylhydrazine

to give title compd. II. Data for biol. activity of I were given. IT 374080-86-1P 374080-87-2P 374080-88-3P

374080-86-1P 374080-87-2P 374080-88-3P 374080-89-4P 374080-91-8P 374080-92-9P

RN 374080-87-2 CAPLUS
CN Pyrimidine,
2-[4-[(3-chlorophenyl)thio]-3,5-dimethyl-1H-pyrazol-1-yl}-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Me N N N N N

RN 374080-88-3 CAPLUS
CN Pyrimidine,
2-[4-[(4-chlorophenyl)thio]-3,5-dimethyl-1H-pyrazol-1-yl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 374080-89-4 CAPLUS CN Pyrimidine, 2-[4-[(4-fluorophenyl)thio]-3,5-dimethyl-1H-pyrazol-1-yl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 374080-91-8 CAPLUS
CN Pyrimidine,
2-(4-[(3,4-dichlorophenyl)thio]-3,5-dimethyl-1H-pyrazol-1-yl]4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 374080-92-9 CAPLUS
CN Pyrimidine,
2-[4-[(4-chlorophenyl)thio]-3,5-dimethyl-1H-pyrazol-1-yl]-4-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 374080-93-0 CAPLUS
CN Pyrimidine,
2-{4-{(4-chlorophenyl)thio]-3,5-dimethyl-1H-pyrazol-1-yl]-4-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 374081-16-0 CAPLUS CN 1,3,5-Triazin-2-amine, 4-[4-[(4-chlorophenyl)thio]-3,5-dimethyl-1H-pyrazol-1-yl]-6-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 374081-17-1 CAPLUS
CN 1,3,5-Triazin-2-amine, 4-[4-[(4-chlorophenyl)sulfonyl]-3,5-dimethyl-1H-pyrazol-1-yl]-6-(2-pyridinyl)- (9CI) (CA INDEX NAME)

LB ANSWER 4 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 374081-18-2 CAPLUS CN 1,3,5-Triazine, 2-[4-[(4-chlorophenyl)thio]-3,5-dimethyl-1H-pyrazol-1-yl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS 1999:631412 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 131:243266

Preparation of pyrazolyloximinoacetates and related TITLE: compounds as agrochemical and industrial fungicides. Hirohara, Yoji; Sugano, Shigeyoshi; Nakashima, INVENTOR (S):

Hideki;

Kimura, Takuo; Sakakibara, Takashi

PATENT ASSIGNEE(S): SDS Biotech K.K., Japan Eur. Pat. Appl., 70 pp. SOURCE:

CODEN: EPXXDW Patent

DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

> APPLICATION NO. DATE KIND DATE PATENT NO. ------A1 19990929 EP 1998-105673 19980327 EP 945437 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

EP 1998-105673 19980327 PRIORITY APPLN. INFO.: MARPAT 131:243266

OTHER SOURCE(S):

$$\begin{array}{c}
A \\
N-W_{n} \\
V \sim OR
\end{array}$$

Title compds. [I; X = CO2R1, CONHR1, CON(R1)2, cyano, 5-6 membered heteroaryl; Y = CH, N; W = alkylene, NR1, O; n = 0, 1; R = alkyl, haloalkyl; A, B, D = H, halo, R1, R10, R15, R150, R1502, (R1)2N, R102C, R10R2, R10N:CH, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (substituted) Ph, PhCH2, Pho, PhCH20, PhOR2, Phs, PhCH2s, PhSR2, PhCH2ON:CH, naphthyl, heteroaryl; R1 = alkyl, haloalkyl; R2 = alkylene; provided that A, B, D

not all = H and >2 of A, B, D do not = aryl or heteroaryl], were prepd.

Thus, Me 2-[3-methyl-5-(4-chlorophenyl)pyrazol-1-yl]-2-hydroxyiminoacetate (prepn. given) was stirred with Me2SO4 and K2CO3 in DMF to give 82% Me 2-{3-methyl-5-(4-chlorophenyl)pyrazol-1-yl}-2-methoxyiminoacetate. The latter at 500 ppm gave 100% prevention of Pseudoperonospora cubensis on

cucumbers. 244270-37-9P 244270-38-0P 244270-39-1P 244270-40-4P 244270-41-5P 244270-43-7P

(Preparation); USES (Uses)

244270-44-8P 244270-45-9P 244270-46-0P RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP

ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

244270-40-4 CAPLUS

1H-Pyrazole-1-acetic acid, 4-[(4-chlorophenyl)thio]-.alpha.-(methoxymethylene) -3,5-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

244270-41-5 CAPLUS

1H-Pyrazole-1-acetamide, 4-[(4-chlorophenyl)thio]-.alpha.-(methoxymethylene) -N, 3, 5-trimethyl- (9CI) (CA INDEX NAME)

(Continued) ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS (prepn. of pyrazolyloximinoacetates and related compds. as agrochem. and industrial fungicides)

244270-37-9 CAPLUS

1H-Pyrazole-1-acetamide, 4-[(2-chlorophenyl)thio]-.alpha.-(methoxymethylene) -N, 3, 5-trimethyl- (9CI) (CA INDEX NAME)

244270-38-0 CAPLUS

1H-Pyrazole-1-acetic acid, 4-[(2-chlorophenyl)thio]-.alpha.-(methoxymethylene) -3,5-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

RN 244270-39-1 CAPLUS

1H-Pyrazole-1-acetic acid, 4-{(3-chlorophenyl)thio}-.alpha.-(methoxymethylene)-3,5-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

244270-43-7 CAPLUS

1H-Pyrazole-1-acetic acid, 4-[(2,5-dichlorophenyl)thio]-.alpha.-(methoxymethylene)-3,5-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

244270-44-8 CAPLUS

1H-Pyrazole-1-acetamide, 4-[(2,5-dichlorophenyl)thio]-.alpha.-(methoxymethylene)-N,3,5-trimethyl- (9CI) (CA INDEX NAME)

L8 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

244270-45-9 CAPLUS 1H-Pyrazole-1-acetic acid, 4-[[2-chloro-5-(trifluoromethyl)phenyl]thio]-.alpha.-(methoxymethylene)-3,5-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

INDEX NAME)

244270-46-0 CAPLUS 1H-Pyrazole-1-acetamide, 4-[[2-chloro-5-(trifluoromethyl)phenyl]thio}-.alpha.-(methoxymethylene)-N,3,5-trimethyl- (9CI) (CA INDEX NAME)

сн- оме

ANSWER 5 OF 32 CAPLUS COPYRIGHT 2003 ACS

REFERENCE COUNT: THIS

THERE ARE 13 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

(Continued)

FORMAT

L8 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2003 ACS 1999:522599 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 131:271837 Reactions of 1,3,5-trisubstituted pyrazoles with TITLE: arenesulfenyl chlorides Shermolovich, Yu. G.; Tolmachev, A. A.; Emets, S. B.; AUTHOR (S): Timoshenko, V. M.; Kolesnik, N. P. Inst. Org. Chem., Ukr. Akad. Sci., Kiev, Ukraine CORPORATE SOURCE: Russian Journal of Organic Chemistry (Translation of SOURCE: Zhurnal Organicheskoi Khimii) (1999), 35(2), 281-285 CODEN: RJOCEQ; ISSN: 1070-4280 MAIK Nauka/Interperiodica Publishing PUBLISHER: DOCUMENT TYPE: Journal LANGUAGE: English AB 3-Methyl (or amino) -1-phenyl-5-pyrazolones and 3-methyl-5-methyl (or methoxy or amino)-1-phenylpyrazoles react with arenesulfenyl chlorides to yield only 4-(arylthio)pyrazoles. Reaction of 3-amino-4-(arylthio)-5-hydroxy-1phenylpyrazoles with o-nitrophenylsulfenyl chloride gives exclusively 4,4-bis(arylthio)-5-pyrazolones. 4-(Arylthio)-5-hydroxy-3-methyl-1-phenylpyrazoles are also converted to 4,4-bis(arylthio)-5-pyrazolones. A p-fluorobenzoyl deriv. is also prepd. IT 245725-87-5P RL: SPN (Synthetic preparation); PREP (Preparation) (reactions of 1,3,5-trisubstituted pyrazoles with arenesulfenyl 245725-87-5 CAPLUS

1H-Pyrazole, 4-[(4-chlorophenyl)thio]-3,5-dimethyl-1-phenyl- (9CI) (CA

THERE ARE 17 CITED REFERENCES AVAILABLE FOR REFERENCE COUNT: THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Brush, Kelly Anne; Chapdelaine, Marc Jerome; Frazee, INVENTOR (S): William Jackson; Garcia-Davenport, Laura Enid; Lewis, Joseph James Zeneca Ltd., UK; Brush, Kelly Anne; Chapdelaine, Marc PATENT ASSIGNEE (S): Jerome; Frazee, William Jackson; Garcia-Davenport, Laura Enid; Lewis, Joseph James PCT Int. Appl., 80 pp. SOURCE: CODEN: PIXXD2 Patent DOCUMENT TYPE: English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. DATE KIND DATE PATENT NO. ----19970304 A1 19970912 WO 1997-GB592 WO 9732883 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG CA 1997-2247453 19970304 19970912 CA 2247453 AA AU 1997-22253 19970304 19970922 AU 9722253 A1 20000907 AU 723860 B2 EP 1997-905327 19970304 A1 19990107 EP 888350 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI CN 1997-192864 19970304 CN 1224424 19990728 CN 1084747 20020515 JP 1997-531562 19970304 T2 20000523 JP 2000506160 ZA 1997-1964 19970306 ZA 9701964 19970908 А US 1998-142221 19980903 U\$ 6124281 20000926 19981106 NO 1998-4106 19980907 NO 9804106 20011106 US 2000-668261 20000922 **B**1 US 6313290 PRIORITY APPLN. INFO.: US 1996-13528P P 19960308 W 19970304 WO 1997~GB592 US 1998-142221 A3 19980903 OTHER SOURCE(S): MARPAT 127:278193

OPr-i II

L8 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2003 ACS

1997:618103 CAPLUS

Preparation of azolobenzazepines as neurologically

127:278193

active agents

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

L8 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

AB The title compds. [I; X = O, S; R1-R4 = H, perfluoro-lower-alkyl, halo, NO2, CN; C together with the carbon atoms to which it is attached forms a 5-membered arom. heterocycle], useful for the treatment of neurol. disorders such as stroke, were prepd. and formulated. Thus, reaction of

7-chloro~3-(ethoxycarbonyl)pyrazolo[3,4-c][1]benzazepine-4,10(1H,9H)-dione with 2-propanol in the presence of conc. HCl afforded 48% II which showed IC50 of 0.064 .mu.M against [3H]-glycine binding at the

N-methyl-D-aspartate receptor. 196864-34-3P 196864-35-4P 196864-36-5P 196864-44-5P 196864-45-6P 196864-46-7P

196864-47-8P 196864-50-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of azolobenzazepines as neurol. active agents)

RN 196864-34-3 CAPLUS

1H-Pyrazole-3,5-dicarboxylic acid, 4-[(4-chloro-2nitrophenyl)hydroxymethyl)-, diethyl ester (9CI) (CA INDEX NAME)

RN 196864-35-4 CAPLUS CN 1H-Pyrazole-3,5-dicarboxylic acid, 4-(4-chloro-2-nitrobenzoyl)-, diethyl

ester (9CI) (CA INDEX NAME)

ANSWER 7 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

196864-45-6 CAPLUS 1H-Pyrazole-3-carboxylic acid, 4-(2-amino-4-chlorobenzoyl)-5-benzoyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 196864-46-7 CAPLUS 1H-Pyrazole-3-carboxylic acid, 4-[(4-chloro-2-nitrophenyl)hydroxymethyl]-5-(trifluoromethyl) -, ethyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

196864-36-5 CAPLUS 1H-Pyrazole-3,5-dicarboxylic acid, 4-(2-amino-4-chlorobenzoyl)-, diethyl ester (9CI) (CA INDEX NAME)

RN 196864-44-5 CAPLUS 1H-Pyrazole-3-carboxylic acid, 3-benzoyl-5-(4-chloro-2-nitrobenzoyl)-, ethyl ester (9CI) (CA INDEX NAME)

ANSWER 7 OF 32 CAPLUS COPYRIGHT 2003 ACS 196864-47-8 CAPLUS

1H-Pyrazole-3-carboxylic acid, 4-(4-chloro-2-nitrobenzoyl)-5-(trifluoromethyl) -, ethyl ester (9CI) (CA INDEX NAME)

196864-50-3 CAPLUS 1H-Pyrazole-3-carboxylic acid, 4-(2-amino-4-chlorobenzoyl)-5-(trifluoromethyl) -, ethyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2003 ACS 1996:348157 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 125:142618 An efficient synthesis of ethyl 4-aroyl-5-TITLE: trifluoromethylpyrazole 3-carboxylates Cyrener, Joerg; Lauterbach, Christa; Burger, Klaus AUTHOR(S): Department of Organic Chemistry, University of CORPORATE SOURCE: Leipzig, Talstr. 35, 03410, Leipzig, Germany Journal of Fluorine Chemistry (1996), 78(1), 55-58 SOURCE: CODEN: JFLCAR; ISSN: 0022-1139 PUBLISHER: Elsevier DOCUMENT TYPE: Journal English LANGUAGE: CASREACT 125:142618 OTHER SOURCE(S): Et 4-aroyl-5-trifluoromethylpyrazole 3-carboxylates I (R = Ph, 4-BrC6H4, 4-C1C6H4, 2-naphthyl) have been synthesized from readily available 4,4-bis(trifluoromethyl)-1-oxabuta-1,3-dienes (vinyl ketone) and Et diazoacetate and subsequent thermally induced elimination of trifluoromethane in good yield. 179612-96-5P 179612-97-6P RL: SPN (Synthetic preparation); PREP (Preparation) (two-step prepn. of Et 4-aroyl-5-trifluoromethylpyrazole 3-carboxylates

1H-Pyrazole-3-carboxylic acid, 4-{4-bromobenzoyl}-5-(trifluoromethyl)-,

via Et diazoacetate and vinyl ketones)

ethyl ester (9CI) (CA INDEX NAME)

EtO-CF3

179612-96-5 CAPLUS

RN 179612-97-6 CAPLUS

CRN 81860-84-6

CMF C20 H16 C12 N2 O2

L8 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2003 ACS 1995:340802 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 122:99346 Synergic herbicides containing pyrazole and TITLE: indandione derivatives INVENTOR(S): Ikeda, Osamu; Minami, Noriko PATENT ASSIGNEE(S): Mitaubishi Chem Ind, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp. CODEN: JKXXAF DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. DATE PATENT NO. KIND DATE _______ A2 19941025 JP 1993-88643 19930415 JP 06298612 PRIORITY APPLN. INFO.: JP 1993-88643 AB A synergistic herbicide esp. effective in rice paddies contains 2-[2-(3-chlorophenyl)-2,3-epoxypropyl]-2-ethylindan-3-dione with .gtoreq. 1 compd. selected from the group comprising 4-(2,4-dichlorobenzoyl)-1,3dimethylpyrazol-5-yl-p-toluenesulfonate, 4-(2,4-dichlorobenzoyl)-1,3dimethy1-5-phenacyloxypyrazole, and 4-(2,4-dichloro-3-methylbenzoyl)-1,3dimethyl-5-(4-methylphenacyloxy)pyrazole. 160780-74-5 160780-76-7 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); (synergic herbicides contg. pyrazole and indandione derivs.) 160780-74-5 CAPLUS 1H-Indene-1,3(2H)-dione, 2-[[2-(3-chlorophenyl)oxiranyl]methyl]-2-ethyl-, mixt. with 2-[4-(2,4-dichlorobenzoyl)-1,3-dimethyl-1H-pyrazol-5-yl]-1phenylethanone (9CI) (CA INDEX NAME) CM 1 CRN 133220-30-1 CMF C20 H17 C1 O3

L8 ANSWER B OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Pyrazole-3-carboxylic acid, 4-(4-chlorobenzoyl)-5-(trifluoromethyl)-,
ethyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 160780-76-7 CAPLUS

1H-Indene-1,3(2H)-dione, 2-{{2-(3-chlorophenyl)oxiranyl}methyl]-2-ethyl-,
mixt. with 2-{4-(2,4-dichloro-3-methylbenzoyl)-1,3-dimethyl-1H-pyrazol-5yl]-1-(4-methylphenyl)ethanone (9CI) (CA INDEX NAME)

CM 1

CRN 160780-75-6 CMF C22 H20 C12 N2 O2

CM 2

CRN 133220-30-1 CMF C20 H17 C1 O3.

ANSWER 9 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

ANSWER 10 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) after workup, I $\{X * Cl; Y * H; R1 * R2 * Et\}$ (III). III at 0.15 g/ha gave 100% control of Cyperus. 154464-02-5 154464-03-6 RL: RCT (Reactant); RACT (Reactant or reagent) (herbicidal compn. contg.) 154464-02-5 CAPLUS

Ethanone, 2-[4-(2,6-dichlorobenzoyl)-1,3-dimethyl-1H-pyrazol-5-yl]-1phenyl- (9CI) (CA INDEX NAME)

154464-03-6 CAPLUS Ethanone, 2-[4-(2,6-dichlorobenzoyl)-1,3-dimethyl-1H-pyrazol-5-yl]-1-(4-

methylphenyl) - (9CI) (CA INDEX NAME)

L8 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1994:457514 CAPLUS DOCUMENT NUMBER: 121:57514 Preparation of tetrazolinones as herbicides for use TITLE: a rice paddy Goto, Toshio; Hayakawa, Hidenori; Watanabe, INVENTOR (S): Yukiyoshi; Narabu, Shinichi; Yanagi, Akihiko PATENT ASSIGNEE (S): Nihon Bayer Agrochem K.K., Japan Eur. Pat. Appl., 17 pp. SOURCE: CODEN: EPXXDW DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE EP 578090 19940112 EP 1993-110272 19930628 EP 578090 19940427 A3 EP 578090 19961227 B1 R: BE, CH, DE, ES, FR, GB, IT, LI, NL JP 06199818 A2 19940719 JP 1992-312607 19921029 AU 9341561 19940113 AU 1993-41561 19930628 A1 AU 661162 19950713 B2 19930628 ES 2095524 ES 1993-110272 19970216 TЗ US 5347010 19940913 US 1993-86606 19930701 19940110 CA 2099930 CA 1993-2099930 19930706 HU 65462 19940628 HU 1993-1977 19930708 **A2** CN 1083809 19940316 CN 1993-108424 19930709 CN 1034573 19970416 US 5466660 19951114 US 1994-230949 19940421 19970305 CN 1144220 CN 1996-108280 19960629 JP 1992-204271 19920709 PRIORITY APPLN. INFO.: JP 1992-3126Q7 19921029 US 1993-86606 19930701 OTHER SOURCE(S): MARPAT 121:57514

The title compds. I [X = Cl, Br; Y = H, Cl, Br, etc.; R1, R2 = alkyl] are prepd. A mixt. of tetrazolinone II, potassium carbonate, and and diethylcarbamoyl chloride in acetonitrile was refluxed for 5 h to give,

L8 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1994:292136 CAPLUS

120:292136 DOCUMENT NUMBER:

TITLE: Pyrazoles agricultural and horticultural bactericides INVENTOR (\$): Nakajima, Yasuyuki; Watanabe, Junichi; Sugyama,

Yasuhisa; Hirohara, Yoji; Mita, Takeshi; Suzuki, Hideo; Furusato, Takashi; Ooya, Hiroshi; Nakayama,

Masahito; Et, Al.

PATENT ASSIGNEE(S): Nissan Chemical Ind Ltd, Japan

Jpn. Kokai Tokkyo Koho, 23 pp. SOURCE:

CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

GΙ

KIND DATE PATENT NO. APPLICATION NO. DATE -----JP 06065237 A2 19940308 JP 1993-98060 19930423 JP 1992-115000 PRIORITY APPLN. INFO.: 19920507 OTHER SOURCE(S): MARPAT 120:292136

Pyrazoles [I, R1 = halo, alkyl, etc.; R2 = alkyl or haloalkyl; X = NR3, CO, CR4R5; R3 = H, alkyl, etc., and R4 and R5 = H, halo, etc.; Y = O, S, etc.; A = (un)substituted phenyl; B = (un)substituted polycyclic ring group] are prepd. as agricultural and horticultural bactericides. Prepn. of 8 pyrazoles and the use of the I for control of crop disease caused by

Botrytis cinerea were shown. IT 144059-52-9P 144059-53-0P 144059-54-1P 144059-55-2P 144059-56-3P 144059-57-4P 144059-58-5P 144059-59-6P 144059-60-9P 154931-94-9P 154932-19-1P 154932-20-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as agricultural and horticultural bactericides)

144059-52-9 CAPLUS

2-Pyridinemethanol, .alpha.-[4-[(4-chlorophenyl)thio]-1,3-dimethyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

L8 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 144059-53-0 CAPLUS CN 2-Pyridinemethanol, .alpha.-[4-[(2,4-dichlorophenyl)thio]-1,3-dimethyl-1Hpyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 144059-54-1 CAPLUS CN 2-Pyridinemethanol, .alpha.-[4-[(3-fluoro-4-methylphenyl)thio]-1,3-dimethyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME) LB ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 144059-55-2 CAPLUS
CN Pyridine, 2-[[4-[(4-chlorophenyl)thio]-1,3-dimethyl-1H-pyrazol-5-yl]methoxymethyl]- (9CI) (CA INDEX NAME)

RN 144059-56-3 CAPLUS
CN 2-Pyridinemethanol, .alpha.-[4-[(4-chlorophenyl)thio]-1,3-dimethyl-1H-pyrazol-5-yl]-, acetate (ester) (9CI) (CA INDEX NAME)

L8 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 144059-57-4 CAPLUS
CN Pyridine, 2-[[4-[(4-chlorophenyl)thio]-1,3-dimethyl-lH-pyrazol-5-yl]fluoromethyl]- (9CI) (CA INDEX NAME)

RN 144059-58-5 CAPLUS
CN Methanone, [4-[(4-chlorophenyl)thio]-1,3-dimethyl-1H-pyrazol-5-yl]-2pyridinyl- (9CI) (CA INDEX NAME)

L8 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 144059-59-6 CAPLUS
CN 2-Pyridinemethanol, .alpha.-[4-[(4-chlorophenyl)thio]-1,3-dimethyl-1H-pyrazol-5-yl]-.alpha.-methyl- (9CI) (CA INDEX NAME)

RN 144059-60-9 CAPLUS
CN 2-Pyridinemethanol, .alpha.-[4-[(4-chlorophenyl)thio]-1,3-dimethyl-1H-pyrazol-5-yl]-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154931-94-9 CAPLUS
CN Pyridine, 2-[[4-[(4-chlorophenyl)thio]-1,3-dimethyl-1H-pyrazol-5-yl]methyl]- (9CI) (CA INDEX NAME)

RN 154932-19-1 CAPLUS
CN Pyridine, 2-[[4-[(2,4-dichlorophenyl)thio]-1,3-dimethyl-1H-pyrazol-5y1]methyl]- (9CI) (CA INDEX NAME)

L8 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154932-20-4 CAPLUS
CN Pyridine, 2-[1-[4-[(4-chlorophenyl)thio]-1,3-dimethyl-1H-pyrazol-5-yl]ethyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1994:270383 CAPLUS DOCUMENT NUMBER: 120:270383 (Biphenylmethyl)pyrazole angiotensin II antagonists TITLE: INVENTOR (S): Ashton, Wallace T.; Chang, Linda L.; Greenlee, William J.; Hutchins, Steven M. PATENT ASSIGNEE(S): Merck and Co., Inc., USA SOURCE: U.S., 30 pp. CODEN: USXXAM DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. DATE KIND DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

US 5262412 A 19931116 US 1993-28845 19930310

PRIORITY APPLN. INFO.: US 1993-28845 19930310

OTHER SOURCE(S): MARPAT 120:270383

154056-98-1 154057-09-7 154057-12-2

AB The title compds. [I; R1 = SO2NHCOR23, SO2NHCO2R24; R23 = aryl, heteroaryl, (un)branched (un)substituted C1-6 alkyl, C3-6 alkenyl, etc.; R24 = (un)branched (un)substituted C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, aryl, (un)substituted C3-7 cycloalkyl; R2, R3 = H, F, C1, CF3, C1-4 alkyl; R4 = H, F; R5 = H, F, C1, CF3, C1-4 alkyl; R6 = C1-6 alkyl; R8 = H, F, C1, Br, iodo, OH, C1-4 alkoxy, (un)substituted NH2, CN, etc.; V1 = CH3, CF3, C1, iodo, F, OMe, NO2, CN; V2 = amine- or carbonyl- or S-based substituent at ring position 4 or 5], which are angiotensin II antagonists (no data), useful in the treatment of hypertension and related cardiovascular disorders (no data), are prepd. and I-contg. formulations presented. Thus, Et 3-n-butyl-4-[[2'-[N-(2-chlorobenzoyl)sulfamoyl]biphenyl-4-yl]methyl]-1-[2-chloro-5-(valerylamino)phenyl]-1H-pyrazole-5-carboxylate was prepd. from Et 2,4-dioxooctanoate in 10 steps.

RN 154057-09-7 CAPLUS
CN Benzamide, N-[{4'-{[3-butyl-1-[2-chloro-5-[(1-oxopentyl)amino]phenyl}-5-cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl}-2-chloro- (9CI) (CA INDEX NAME)

ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-12-2 CAPLUS CN Benzamide, N-butyl-3-[3-butyl-4-[[2'-[[(2-chlorobenzoyl)amino]sulfonyl]-3-fluoro[1,1'-biphenyl]-4-yl]methyl]-5-cyano-1H-pyrazol-1-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

154057-35-9 CAPLUS Benzamide, N-[[4'-[[3-butyl-5-cyano-1-[5-[(1-oxopropyl)amino]-2-

(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]2-yl]sulfonyl]-2-chloro- {9CI} (CA INDEX NAME)

RN 154057-36-0 CAPLUS

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-24-6 CAPLUS

Benzamide, N-[[4'-[[3-butyl-5-cyano-1-[5-[(methoxyacetyl)amino]-2-

(trifluoromethyl)phenyl)-1H-pyrazol-4-yl)methyl]-3'-fluoro[1,1'-biphenyl]2-yl]sulfonyl]-2-chloro- (9CI) (CA INDEX NAME)

ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) Benzamide, N-[[4'-[[3-butyl-5-cyano-1-[5-[(ethoxyacetyl)amino]-2-

(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]2-yl]sulfonyl)-2-chloro- (9CI) (CA INDEX NAME)

Eto- CH2- C- NH

154057-37-1 CAPLUS

Benzamide, N-[[4'-[[3-butyl-5-cyano-1-[5-[(methoxyacetyl)amino]-2-

(trifluoromethyl)phenyl}-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-y1]sulfony1]-2-fluoro- (9CI) (CA INDEX NAME)

ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS

RN154057-42-8 CAPLUS

Benzamide, N-butyl-4-chloro-3-[4-[[2'-[[(2-chlorobenzoyl)amino]sulfonyl]-3fluoro[1,1'-biphenyl]-4-yl]methyl]-5-cyano-3-propyl-1H-pyrazol-1-yl]-(9CI) (CA INDEX NAME)

154057-43-9 CAPLUS

Benzamide, N-butyl-4-chloro-3-[5-cyano-4-[[3-fluoro-2'-[[(2-fluorobenzoyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]methyl]-3-propyl-1Hpyrazol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS

RN 154057-45-1 CAPLUS

Benzamide, N-butyl-3-[5-cyano-4-[[3-fluoro-2'-[[(2fluorobenzoyl)amino|sulfonyl][1,1'-biphenyl]-4-yl]methyl]-3-propyl-1H-pyrazol-1-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 154057-46-2 CAPLUS

Benzamide,

N-[[4'-[[1-[5-(acetylamino)-2-chlorophenyl]-3-butyl-5-cyano-1Hpyrazol-4-yl]methyl]-3'-fluoro-5-propyl[1,1'-biphenyl]-2-yl]sulfonyl]-2fluoro- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

154057-44-0 CAPLUS Benzamide, N-butyl-3-[4-[[2'-[[(2-chlorobenzoyl)amino]sulfonyl]-3fluoro[1,1'-biphenyl]-4-yl]methyl]-5-cyano-3-propyl-1H-pyrazol-1-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS

154057-47-3 CAPLUS

Carbamic acid, [[4'-[[1-[5-(acetylamino)-2-chlorophenyl]-3-butyl-5-cyano-

1H-pyrazol-4-yl]methyl]-3'-fluoro-5-propyl[1,1'-biphenyl]-2-yl]sulfonyl]~,
 ethyl ester (9CI) {CA INDEX NAME}

154057-48-4 CAPLUS

Benzamide, N-[[4'-[[3-butyl-5-cyano-1-[5-[(methoxyacetyl)amino]-2-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3,3'-difluoro[1,1'-biphenyl]-2-yl]sulfonyl]-2-fluoro- (9CI) (CA INDEX NAME) ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

IT 154056-98-1 154057-09-7 154057-10-0 154057-11-1 154057-12-2 154057-24-6 154057-30-4

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. as angiotensin II antagonist)

154056-98-1 CAPLUS Benzamide, N-[[4'-[[3-butyl-1-[2-chloro-5-[(1-oxopropyl)amino]phenyl]-5cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-2-chloro- (9CI) (CA INDEX NAME)

(Continued) L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS

154057-09-7 CAPLUS

Benzamide, N-[[4'-[[3-butyl-1-[2-chloro-5-[(1-oxopentyl)amino]phenyl]-5cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-2-chloro- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

154057-10-0 CAPLUS

Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[(1-oxopropyl)amino]phenyl]-5cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

154057-11-1 CAPLUS

CN Carbamic acid,

[[4'-[[3-butyl-1-[2-chloro-5-[(1-oxopropyl)amino]phenyl]-5-

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued) cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, butyl ester (9CI) (CA INDEX NAME)

154057-12-2 CAPLUS

CN Benzamide,

N-butyl-3-[3-butyl-4-[[2'-{[(2-chlorobenzoyl)amino]sulfonyl]-3-fluoro[1,1'-biphenyl]-4-yl]methyl]-5-cyano-1H-pyrazol-1-yl]-4-(trifluoromethyl) - (9CI) (CA INDEX NAME)

Kamal Saeed

ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

154057-24-6 CAPLUS

CN Benzamide, N-[[4'-[[3-butyl-5-cyano-1-[5-[(methoxyacetyl)amino]-2-

(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3'-fluoro{1,1'-biphenyl}2-yl]sulfonyl]-2-chloro- (9CI) (CA INDEX NAME)

154057-30-4 CAPLUS

Acetamide, N-[3-[3-butyl-5-cyano-4-[[3-fluoro-2'-[[(2fluorophenyl)amino]sulfonyl]-5'-propyl[1,1'-biphenyl]-4-yl]methyl]-1H-pyrazol-1-yl]-4-chlorophenyl]- (9CI) (CA INDEX NAME) NHAc

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS

(Continued)

IT 154057-00-8 154057-01-9 154057-02-0 154057-03-1 154057-04-2 154057-05-3 154057-06-4 154057-07-5 154057-08-6

154057-22-4 154057-23-5 154057-25-7 154057-27-9 154057-28-0 154057-29-1 154057-32-6 154057-33-7 154057-34-8

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. as intermediate in prepn. of (biphenylmethyl)pyrazole

angiotensin II antagonists) 154057-00-8 CAPLUS

1H-Pyrazole-5-carboxylic acid,

4-[(4-bromo-2-fluorophenyl)methyl]-3-butyl-1-(2-chloro-5-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued) 154057-01-9 CAPLUS lH-Pyrazole-5-carboxylic acid,

4-[(4-bromo-2-fluorophenyl)methyl]-3-butyl-1-(2-chloro-5-nitrophenyl)- (9CI) (CA INDEX NAME)

154057-02-0 CAPLUS

1H-Pyrazole-5-carbonyl chloride, 4-[(4-bromo-2-fluorophenyl)methyl]-3-butyl-1-(2-chloro-5-nitrophenyl)- (9CI) (CA INDEX NAME)

154057-03-1 CAPLUS

1H-Pyrazole-5-carboxamide,

4-[(4-bromo-2-fluorophenyl)methyl]-3-butyl-1-(2chloro-5-nitrophenyl) - (9CI) (CA INDEX NAME) L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS

154057-04-2 CAPLUS

1H-Pyrazole-5-carbonitrile, 4-[(4-bromo-2-fluorophenyl)methyl]-3-butyl-1-(2-chloro-5-nitrophenyl) - (9CI) (CA INDEX NAME)

154057-05-3 CAPLUS

1H-Pyrazole-5-carbonitrile, 1-(5-amino-2-chlorophenyl)-4-((4-bromo-2fluorophenyl)methyl]-3-butyl- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-06-4 CAPLUS
CN Propanamide, N-[3-[4-[(4-bromo-2-fluorophenyl)methyl]-3-butyl-5-cyano-1H-

RN 154057-07-5 CAPLUS
CN Propanamide, N-[3-{3-butyl-5-cyano-4-[{2'-[[(1,1-dimethylethyl)amino]sulfonyl]-3-fluoro[1,1'-biphenyl]-4-yl]methyl]-1H-pyrazol-1-yl]-4-chlorophenyl]- (9CI) (CA INDEX NAME)

pyrazol-1-yl]-4-chlorophenyl]- (9CI) (CA INDEX NAME)

Benzoic acid, 3-[4-[[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]methyl]-3-butyl-5-cyano-1H-pyrazol-1-yl]-4-(trifluoromethyl)-, ethylester (9CI) (CA INDEX NAME)

RN 154057-23-5 CAPLUS

CN Benzamide,

3-[4-[(2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]methyl]3-butyl-5-cyano-1H-pyrazol-1-yl]-N-butyl-4-(trifluoromethyl)- (9CI) (CA
INDEX NAME)

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-08-6 CAPLUS
CN Propanamide, N-[3-[4-[[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]methyl]-3-butyl-5-cyano-1H-pyrazol-1-yl]-4-chlorophenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-25-7 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[[1-(2-bromo-5-nitrophenyl)-3-butyl-5-cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro- (9CI) (CA INDEX NAME)

INDEX NAME)

RN 154057-27-9 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[[3-butyl-5-cyano-1-[5-nitro-2-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3'-fluoro-(9CI) (CA

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-28-0 CAPLUS

CN Benzamide,

N-[[4'-[[3-butyl-5-cyano-1-[5-nitro-2-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-2-chloro-(9CI) (CA INDEX NAME)

RN 154057-29-1 CAPLUS

CN Benzamide,

N-[[4'-[[1-[5-amino-2-(trifluoromethyl)phenyl]-3-butyl-5-cyano-

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 154057-33-7 CAPLUS
CN Benzamide,
N-[[4'-[[3-butyl-1-(2-chloro-5-nitrophenyl)-5-cyano-1H-pyrazol4-yl]methyl]-3'-fluoro-5-propyl[1,1'-biphenyl]-2-yl]sulfonyl]-2-fluoro(9CI) (CA INDEX NAME)

RN 154057-34-8 CAPLUS

CN Benzamide,

N-[{4'-[[1-(5-amino-2-chlorophenyl)-3-butyl-5-cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro-5-propyl[1,1'-biphenyl]-2-yl]sulfonyl]-2-fluoro-

(9CI) (CA INDEX NAME)

ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)
1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-2-chloro(9CI) (CA INDEX NAME)

RN 154057-32-6 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[[3-butyl-1-(2-chloro-5-nitrophenyl)-5-cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro-5-propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1994:191713 CAPLUS

DOCUMENT NUMBER: TITLE:

120:191713 Furanone intermediates in pharmaceutical pyrazole

19920407

preparation

INVENTOR(S): PATENT ASSIGNEE(S): Watson, Stephen Paul Glaxo Group Ltd., UK

SOURCE:

Brit. UK Pat. Appl., 30 pp. CODEN: BAXXDU

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.

KIND DATE APPLICATION NO. DATE ______ 19930407 19931013 GB 1993-7342

GB 2265900 PRIORITY APPLN. INFO.: OTHER SOURCE(S):

GB 1992-7591 MARPAT 120:191713

NCHMe2

AB Title compds. I (R1 = H, C1-6 alkyl, C2-6 alkenyl; R2a = H, C1-6 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-4 alkyl, C3-6 alkenyl F-C1-6 alkyl, F-C3-6 alkenyl; X = H, halo, R4C6H4 wherein R4 = H2N, NC, protectant of CO2H or NH2, optionally protected C-linked tetrazolyl) useful for prepn. of pharmaceuticals (no data), are prepd. 2-Hexane was added to 1-[1,1-(dimethylethyl)dimethylsilyl)oxyacetate (prepn. given) to give 1-[1,1-(dimethylethyl)dimethylsilyl)oxy-2,4-octanedione which was reacted with 5-[4'-(bromomethyl)[1,1'-biphenyl]-2-yl]-2-(triphenylmethyl)-2Htetrazole to give the tetrazole deriv. which was treated with Bu4N+F- to give the desilylated furamone deriv. Which in turn was treated with Me2CHNHNH2 to give the title compd. II. 153359-84-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L4 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2003 ACS

(prepn. of, as pharmaceutical)

ACCESSION NUMBER: DOCUMENT NUMBER:

1990:459122 CAPLUS 113:59122

TITLE:

Synthesis of 5-(4-pyrazolyl and 4-isoxazolyl)-1,3-

dihydro-2H-1,4-benzodiazepin-2-ones

AUTHOR(S): CORPORATE SOURCE:

Kurihara, Takushi; Sasaki, Jun; Santo, Kazunori; Nakamura, Yutaka; Yoneda, Ryuji; Harusawa, Shinya Osaka Univ. Pharm. Sci., Matsubara, 580, Japan

SOURCE:

Heterocycles (1989), 29(10), 2007-21 CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

LANGUAGE:

English OTHER SOURCE(S): CASREACT 113:59122

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Reactions of pyrazolylanthranil I (X = NMe, R = Cl) with PhZnCl in the presence of nickel acetylacetonate gave anilinobenzoylpyrazole II (R1 = Ph, R2 = H). Isoxazolylanthranil I (X = O, R = Cl) under the same conditions gave a mixt. of II (R1 = Ph, R2 = H) and quinolone III. II (X = O, NMe; R = Cl, R1 = Ph, R2 = H) were converted to II (R2 = COCH2N3), which were cyclized with PPh3 to benzodiazepinones IV (X = O, NMe, R = O

Cl. R1 = Ph) via an aza-hitting reaction. Treating azido deriv. II (X = NAc, R = R1 = H, R2 = COCH2N3) with PPh3 gave II (R2 = COCH2N:PPh3), which cyclized in refluxing toluene to give IV (X = NAc, R = Cl, Rl = H). In contrast, the phosphinimine V (R3 = N:PPh3) prepd. from azide V (R3 = N3) failed to cyclize under the same conditions.

127889-75-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent) (prepn. and condensation reaction of, with sodium azide)

127889-75-2 CAPLUS

Acetamide, N-[4-chloro-2-[(1,3,5-trimethyl-1H-pyrazol-4yl)carbonyl]phenyl]-2-iodo-N-phenyl- (9CI) (CA INDEX NAME)

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

ANSWER 9 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

153359-84-3 CAPLUS

1H-Pyrazole-5-methanol, 3-butyl-4-[(4-iodophenyl)methyl]-1-methyl- (9CI)

ANSWER 10 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued) (Reactant or reagent)

(prepn. and condensation reaction of, with sodium iodide)

127889-74-1 CAPLUS

Acetamide, 2-chloro-N-[4-chloro-2-[(1,3,5-trimethyl-1H-pyrazol-4yl)carbonyl]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)

IT 127889-90-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of, benzodiazepine deriv. from)

127889-90-1 CAPLUS

Acetamide, N-[2-[(1-acetyl-3,5-dimethyl-1H-pyrazol-4-yl)carbonyl]-4chlorophenyl] -2-[(triphenylphosphoranylidene)amino] - (9CI) (CA INDEX

IT 127889-76-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of, with triphenylphosphine, benzodiazepine

deriv. from) 127889-76-3 CAPLUS

Acetamide, 2-azido-N-[4-chloro-2-[(1,3,5-trimethyl-1H-pyrazol-4yl)carbonyl]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)

ANSWER 10 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

127889-73-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(prepn. and N-acylation of, with chloroacetyl chloride)

RN 127889-73-0 CAPLUS

Methanone, [5-chloro-2-(phenylamino)phenyl] (1,3,5-trimethyl-1H-pyrazol-4yl) - (9CI) (CA INDEX NAME)

ANSWER 11 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued) 1H-Pyrazole-3-carboxylic acid, 4-(4-chlorobenzoyl)-5-(4morpholinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:423322 CAPLUS

DOCUMENT NUMBER: 111:23322

TITLE: Five-membered 2,3-dioxo heterocycles. VIII. Reaction

> of 1-aryl-4-aroyl-5-methoxycarbonyl-2,3-dihydro-2,3pyrrolediones with secondary aliphatic amines

AUTHOR (S): Maslivets, A. N.; Smirnova, L. I.; Andreichikov, Yu.

CORPORATE SOURCE: Perm. Gos. Farm. Inst., Perm, USSR

SOURCE: Zhurnal Organicheskoi Khimii (1988), 24(10), 2205-12

CODEN: ZORKAE; ISSN: 0514-7492

S.

DOCUMENT TYPE: Journal LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 111:23322

CONR₂ p-RC6H4CC p-RC6H4CC C6H4R1-p I NHC6H4R1-p II MeO₂C

p-RC6H4CQ p-RC6H4CC MeO2 C6H4R1-p III

C6H4R1-P IV

CONHC6H4R1-p p-RC6H4CO CONR₂ MeQ₂(VΙ

Interaction of 5-methoxycarbonyl-2,3-dihydropyrrole-2,3-diones I (R =

Me, H, Cl, Br, NO2, R1 = H; R = H, R1 = Me) with R22NH[R2 = PhCH2, Et,

Me; R22N = morpholino, piperidino] led to (2)-3-pentenedioic acid derivs. II (same R's) and 5-methoxycarbonyl-3-hydroxy-2,5-dihydro-2-pyrrolones III (same R's). Factors influencing the yield ratio of II to III were studied. Acid hydrolysis of II and III gave 3,5-dihydroxy-2,5-dihydro-2pyrrolones IV (same R's) while hydrazinolysis gave pyrazolecarboxamides Vand pyrazolecarboxanilides VI.

IT 121275-82-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, via hydrazinolysis of oxopentenedioic acid and dihydropyrrolone derivs.}

121275-82-9 CAPLUS

L4 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1987:636702 CAPLUS DOCUMENT NUMBER:

107:236702 TITLE:

Preparation of pyrrole- and pyrazolecarboxylates as cardiotonics and calcium agonists

INVENTOR (S): Baxter, Andrew John Gilby; Dixon, John; Ince,

Francis; Springthorpe, Brian; Tinker, Alan Charles

PATENT ASSIGNEE(S): Fisons PLC, UK Eur. Pat. Appl., 76 pp. SOURCE:

CODEN: EPXXDW DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ----EP 230110 EP 1986-309235 19861126 A1 19870729 R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE JP 62181251 A2 19870808 JP 1986-282187 19861128 PRIORITY APPLN. INFO.: GB 1985-29557 19851130 GB 1985-29558 19851130 GB 1985-29563 19851130 GB 1985-29564 19851130 GB 1986-10218 19860425 GB 1986-16096 19860702 GB 1986-16097 19860702 GB 1986-16100 19860702 GB 1986-16101 19860702 GB 1986-16102 19860702 GB 1986-16103 19860702 GB 1986-21942 19860911

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The title compds. [I; R1 = H, alkyl; R3 = CH2NR5R6, COR7, NO2, cyano, halo; R4 = HBXn; H = (un)substituted Ph, naphthyl, benzofurazanyl; B = bond, alkylene; R5, R6 = H, (un) substituted alkyl, Ph; R7 = H, NR5R6, alkyl, OH, alkoxy; X = O, S, SO, SO2, C:NOH; Y, Z = CH, CR2, CCO2R, N; R = CHalkyl; R2 = (un)substituted alkyl; n = 0, 1] were prepd. as cardiotonics and calcium agonists no data). Dimethylpyrrolecarboxylate I (R1 = R4 =

R3 = CO2Me, Y = Z = CMe) (2.78 g) in CH2Cl2 were added to AlCl3/CH2Cl2 at 0.degree. followed by 3.50 g 2-ClC6H4COCl and the mixt. stirred 17 h to give 3.75 g I (R1 = H, R3 = CO2Me, R4 = 2-ClC4H4CO, Y = Z = CMe). 111595-86-9P 111619-14-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as cardiotonic and calcium agonist)

111595-86-9 CAPLUS

1H-Pyrazole-3-carboxylic acid, 4-(2-chlorobenzoyl)-5-methyl-, methyl

ANSWER 12 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued) (9CI) (CA INDEX NAME)

111619-14-B CAPLUS 1H-Pyrazole-3,5-dicarboxylic acid, 4-(2-chlorobenzoyl)-, 1-ethyl 5-methyl ester (9CI) (CA INDEX NAME)

ANSWER 13 OF 26 CAPLUS COPYRIGHT 2003 ACS 98239-42-0 CAPLUS

Isoxazole, 5-[2-(2,4-dichlorophenyl)-2-(3,5-dimethyl-1H-pyrazol-4yl)ethyl]-3-methyl-4-nitro- (9CI) (CA INDEX NAME)

98239-43-1 CAPLUS

Isoxazole, 5-[2-(2,6-dichlorophenyl)-2-(3,5-dimethyl-1H-pyrazol-4-

yl)ethyl]-3-methyl-4-nitro- (9CI) (CA INDEX NAME)

Me
$$C1$$
 $CH-CH_2$
 Me
 NO_2

98239-46-4 CAPLUS

Isoxazole, 5-{2-(2-chlorophenyl)-2-(3,5-dimethyl-1-phenyl-1H-pyrazol-4yl)ethyl]-3-methyl-4-nitro- (9CI) (CA INDEX NAME)

98239-47-5 CAPLUS

Isoxazole, 5-[2-(2-bromophenyl)-2-(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)ethyl]-3-methyl-4-nitro- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1985:523404 CAPLUS

DOCUMENT NUMBER: 103:123404

TITLE: Chemistry of heterocycles: part VIII - synthesis of

isoxazolylethylpyrazoles AUTHOR(S): Reddi, K. Malla; Rao, C. Janakirama; Murthy, A.

CORPORATE SOURCE: Dep. Chem., Kakatiya Univ., Warangal, 506 009, India SOURCE:

Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1985),

24B(2), 212-13

CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 103:123404

The base-catalyzed addn. of acetylacetone to 3-methyl-4-nitro-5styrylisoxazoles leads to the Michael adducts 3-[2-(3-methyl-4-nitro-5isoxazolyl)-1-phenylethyl]pentane-2,4-diones. These .beta.-diketones

undergo cyclization with hydrazine sulfate and phenylhydrazine to furnish pyrazoles I [R = (un) substituted Ph, R1 = H, Ph].

98239-36-2P 98239-42-0P 98239-43-1P 98239-46-4P 98239-47-5P 98239-53-3P

98254-35-4P 98735-01-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

98239-36-2 CAPLUS

Isoxazole,

5-[2-(2-chlorophenyl)-2-(3,5-dimethyl-1H-pyrazol-4-yl)ethyl]-3methyl-4-nitro- (9CI) (CA INDEX NAME)

ANSWER 13 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

98239-53-3 CAPLUS

Isoxazole,

5-[2-(2,4-dichlorophenyl)-2-(3,5-dimethyl-1-phenyl-1H-pyrazol-4yl)ethyl]-3-methyl-4-nitro- (9CI) (CA INDEX NAME)

98254-35-4 CAPLUS

Isoxazole, 5-[2-(2-bromophenyl)-2-(3,5-dimethyl-1H-pyrazol-4-yl)ethyl]-3methyl-4-nitro- (9CI) (CA INDEX NAME)

98735-01-4 CAPLUS

Isoxazole,

5-[2-(2,6-dichlorophenyl)-2-(3,5-dimethyl-1-phenyl-1H-pyrazol-4yl)ethyl]-3-methyl-4-nitro- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 95115-06-3 CAPLUS
CN Methanone, [5-(3-bromopropyl)-1,3-dimethyl-1H-pyrazol-4-yl)(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 95115-07-4 CAPLUS
CN Methanone, [5-(4-bromobutyl)-1,3-dimethyl-1H-pyrazol-4-yl](2,4-dichlorophenyl)- (9Cl) (CA INDEX NAME)

L4 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1985:113486 CAPLUS DOCUMENT NUMBER: 102:113486 TITLE: Pyrazoles PATENT ASSIGNEE (S) : Sankyo Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp. CODEN: JKXXAF DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION: KIND DATE PATENT NO. APPLICATION NO. DATE ---- -----------JP 59196869 A2 19841108 JP 1983-71242 19830422 JP 04020910 B4 19920407 PRIORITY APPLN. INFO.: JP 1983-71242 19830422 OTHER SOURCE(S): CASREACT 102:113486 ĢΙ AB The title compds. I (R = OXNR2R3 where X = alkylene, R2 = H, alkyl,

(Continued)

Me $(CH_2)_4 - Br$ C=0 C1

L4 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2003 ACS

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ANSWER 15 OF 26 CAPLUS COPYRIGHT 2003 ACS 1982:522069 CAPLUS ACCESSION NUMBER: 97:122069 DOCUMENT NUMBER: Herbicide composition for rice TITLE: Ishihara Sangyo Kaisha, Ltd., Japan PATENT ASSIGNEE(S): Jpn. Kokai Tokkyo Koho, 3 pp. SOURCE: CODEN: JKXXAF DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: APPLICATION NO. DATE PATENT NO. KIND DATE ----------A2 19820521 JP 1980-157843 19801110 JP 57081401 JP 1980-157843 19801110 PRIORITY APPLN. INFO.: Compns. contg. S-1-ethylpropyl-N,N-hexanethylenethiolcarbamate (I) [75013-55-7] and one or more of 1,3-dimethyl-4-(2,4-dichlorobenzoyl)-5phenacyloxypyrazole (II) [71561-11-0], 1,3-dimethyl-4-(2,4dichlorobenzoyl)-5-(4-methylphenacyloxy)pyrazole [71561-18-7], 1,3-dimethyl-4-(2,4-dichlorobenzoyl)-5-pivaloylmethylpyrazole [82934-46-1], and 1,3-dimethyl-4-(2,4-dichlorobenzoyl-5-p-toluenesulfonyloxypyrazole [58011-68-0] are herbicides, esp. for rice. Thus, a compn. contg. I and II (20 + 15 g/are) controlled Echinochloa crus-galli, Scirpus hotarui, Cyperus serotinus, and broad-leaf weeds in rice by 100% in 30 days. 82934-46-1 IΤ RL: BIOL (Biological study) (herbicide compn. contg., for rice) 82934-46-1 CAPLUS 2-Butanone, 1-[4-(2,4-dichlorobenzoyl)-1,3-dimethyl-1H-pyrazol-5-yl]-3,3dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2003 ACS 1982:419045 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 97:19045 Phenylacetamides and pyrazole derivatives as TITLE: herbicides PATENT ASSIGNEE(S): Idemitsu Kosan Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp. CODEN: JKXXAF DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. DATE PATENT NO. KIND DATE _____ JP 1980-107662 19800807 JP 57032206 A2 19820220 19830307 JP 58012242 B4 JP 1981-176454 19811105 JP 57102806 A2 19820626 PRIORITY APPLN. INFO.: JP 1980-107662 19800807 -CHR1CONR2CR3R4 A compn. contg. N-(.alpha.,.alpha.-dialkylbenzyl)phenylacetamides I (X1 and X2 = halo, C1-3 alkyl, C1-3 alkoxy, or H; R1 = C1-3 alkoxy or H; R2 = C1-3 alkyl, C2-6 alkoxyalkyl, allyl, or H; R3 and R4 = C1-4 alkyl; n = 1-3) and pyrazole derivs. is a herbicide for rice. Thus, I (X1 = 2-C1 X2= 4-Cl; n = 1; R1 and R2 = H; R3 and R4 = Me) [80487-99-6] and 4-(2,4-dichlorobenzoyl)-1,3-dimethylpyrazol-5-yl-4-toluenesulfonate [58011-68-0] (100 +)00 g/10 are) controlled Echinochloa crus-galli, Cyperus microiria, Scirpus hotarui, Eleocharis acicularia, Sagittaria pygmaea, and Cyperus serotinus in rice. 81860-84-6 RL: BIOL (Biological study) (herbicides contg. acetamides and) 81860-84-6 CAPLUS

Ethanone, 2-[4-(2,4-dichlorobenzoyl)-1,3-dimethyl-1H-pyrazol-5-yl]-1-

phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1982:406294 CAPLUS DOCUMENT NUMBER: 1,3-Dimethyl-4-(2,9-dichlorobenzoyl)-5-substituted TITLE: carbonylmethoxypyrazole PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan Jpn. Kokai Tokkyo Koho, 3 pp. SOURCE: CODEN: JKXXAF DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION: KIND DATE PATENT NO. APPLICATION NO. DATE -----______ JP 57031666 JP 1980-105947 19800801 PRIORITY APPLN. INFO.: JP 1980-105947 19800801 The herbicidal (no data) title compds. were prepd. by reaction of 1,3-dimethyl-4-(2,4-dichlorobenzoyl)-5-hydroxypyrazole (I) with ClCH2COR [R = (substituted) Ph, (halogenated) Me3C]. Thus, refluxing a mixt. of MeCN 15 mL, I 2.0, PhCOCH2Cl 1.1, K2CO3 1.0, and KI 0.0 6 g for 1 h gave 2.7 g 1,3-dimethyl-4-(2,4-dichlorobenzoyl)-5-(phenacyloxy)pyrazole. 81842-70-8P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) 81842-70-8 CAPLUS CN 1-Propanone, 1-[4-(2,4-dichlorobenzoyl)-1,3-dimethyl-1H-pyrazol-5-yl]-2,2dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2003 ACS 1981:550653 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 95:150653

4-Benzoyl-5-hydroxypyrazoles TITLE: Ishihara Sangyo Kaisha, Ltd., Japan PATENT ASSIGNEE(S): Jpn. Kokai Tokkyo Koho, 5 pp. SOURCE:

CODEN: JKXXAF Patent DOCUMENT TYPE: LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

GΙ

APPLICATION NO. DATE KIND DATE PATENT NO. -----JP 1979-118043 19790914 A2 19810421 JP 56043271 19790914 JP 1979-118043 PRIORITY APPLN. INFO.:

11

111

4-Benzoyl-5-hydroxypyrazoles I (R, R1, R2 = Me, C1, C1; Me, C1, NO2; Me, NO2, Cl; Me2CH, Cl, Cl; Me, Cl, SO2Me) were prepd. by reaction of II with III in the presence of AlCl3. Thus, a mixt. of II (R = Me, R1 = R2 = Cl) 2, III (R = Me) 0.5, and AlCl3 1.8 g in CH2Cl2 was refluxed 2 h to give

81% I (R = Me, R1 = R2 = C1). 79220-47-6

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with hydroxypyrazole)

79220-47-6 CAPLUS

Methanone, (1,3-dimethyl-1H-pyrazole-4,5-diyl)bis[(2,4-dichlorophenyl)-(9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:420752 CAPLUS 93:20752

DOCUMENT NUMBER:

Synergistic rice paddy herbicides TITLE: Konotsune, Takao; Kawakubo, Katsuhiko; Honma, INVENTOR (S):

Toyokuni PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp. CODEN: JKXXAF

DOCUMENT TYPE: Patent

Japanese LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND DATE -----JP 1978-108387 19780904 A2 19800311 JP 55035038 B4 19860428 JP 61016247 JP 60214712 A2 19851028 JP 1985-43500 19850305 B4 19880602 JP 63027321 19780904 JP 1978-108387 PRIORITY APPLN. INFO.:

A compn. contg. 1-(.alpha.,.alpha.-dimethylbenzyl)-3-(p-tolyl)urea (A) [42609-52-9] and pyrazoles I (X = H, 4-toluenesulfonyl or CH2nY where Y = alkoxy, alkylthio, alkoxycarbonyl, acyl, or substituted Ph or benzoyl) is a synergistic rice paddy herbicide. Thus, a compn. contg. 1,3-dimethyl-4-(2,4-dichlorobenzoyl)-5-hydroxypyrazole [58010-98-3] (14

3 g/are) controlled Echniochloa crus-galli, Scirpus juncoides, Sagittaria pygmaea, Cyperus serotinus, and other broad-lead weeds in rice. Either one of the components alone failed to control all of the weeds. Prep. data is given.

74109-78-7 1 T

RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses) (synergistic herbicidal compn. contg.)

74109-78-7 CAPLUS

2-Propanone, 1-[4-(2,4-dichlorobenzoyl)-1,3-dimethyl-1H-pyrazol-5-yl)-(9CI) (CA INDEX NAME)

ANSWER 18 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

(Continued) ANSWER 19 OF 26 CAPLUS COPYRIGHT 2003 ACS

L4 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1980:175648 CAPLUS

DOCUMENT NUMBER: 92:175648

A mechanism of chlorosis caused by TITLE:

1,3-dimethyl-4-(2,4-dichlorobenzoyl)-5hydroxypyrazole, a herbicidal compound

AUTHOR(S): Kawakubo, Katsuhiko; Shindo, Masahiro; Konotsune,

Agric. Chem. Res. Lab., Sankyo Co., Ltd., Yasu, Japan CORPORATE SOURCE:

Plant Physiology (1979), 64(5), 774-9 SOURCE: CODEN: PLPHAY; ISSN: 0032-0889

DOCUMENT TYPE: Journal LANGUAGE: English

In org. solvents, 1,3-dimethyl-4-(2,4-dichlorobenzoyl)-5-hydroxypyrazole AΒ (I) [58010-98-3] converted chlorophyll a [479-61-8] and b [479-61-8] extd. from rice seedlings (Oryza sativa) into pheophytin a [603-17-8]

and b [3147-18-0], resp. On comparing the chlorophyll-converting activity of

I with those of acetic, glycolic, 2,4-dichlorobenzoic, monochloroacetic, 2,6-dichlorobenzoic, pyruvic, and dichloroacetic acids, it was demonstrated that I induced H+ into chlorophyll specifically. 5-Hydroxypyrazoles, which seem to be dissociable, converted chlorophyll into pheophytin in vitro. These compds. also induced chlorosis in sedge seedlings (Cyperus serotinus), when the seedlings were grown in media contg. these compds: However, 5-hydroxypyrazoles, which seem to be undissociable, and analogs having no hydroxy group caused neither the chlorophyll conversion in vitro nor chlorosis in the seedlings. Chlorosis

in barnyardgrass seedlings (Echinochloa crus-galli) induced by I was reversed by cultivating the seedlings in media contg. I plus NaOH, KOH, NH4OH, Ca(OH)2, Na acetate [127-09-3], Na pyruvate [113-24-6], Na succinate [113-24-6], or Na fumarate [14047-56-4]. Accumulation of the Vinylpheoporphyrin [72619-82-0] fraction in 4-day-old etiolated radish cotyledons (Raphanus sativus) was enhanced by incubating the cotyledons with .delta.-aminolevulinic acid [106-60-5] in the dark. However, simultaneous treatment with .delta.-aminolevulinic acid and I reduced accumulation of the fraction and promoted formation of the uro [26316-36-9], copro [14643-66-4], and protoporphyrin [27121-71-7] fractions. I blocks the synthesis of protochlorophyllide in intact plants

and induces consequent chlorosis. The H+-donating activity of I might cause the redn. of protochlorophyllide biosynthesis.

L4 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: DOCUMENT NUMBER:

1978:563486 CAPLUS 89:163486

TITLE:

1,4- and 1,7-Addition reactions of 4-(substituted

benzylidene) -3,5-dimethylisopyrazoles AUTHOR(S):

Kurihara, Takushi; Sakamoto, Yasuhiko; Sakaguchi, Toshiko; Hirano, Hiroshi

CORPORATE SOURCE: Osaka Coll. Pharm., Osaka, Japan SOURCE: Chemical & Pharmaceutical Bulletin (1978), 26(4),

> 1141-6 CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

GΙ

Treating the title isopyrazoles I (R = 2-NO2, 3-NO2, 2-C1) with Ac20, Me2504, or MeOH gave the 1,4-addn. products II (R1 = AcO, R2 = Ac; R1 = MeO, R2 = Me; R1 = MeO, R2 = H; resp.). Brominating I gave RC6H4CHO and 4-bromo-3,5-dimethylpyrazole; treating I with Acc1, BzCl, EtO2CCl, and 4-MeC6H4SO2Cl in pyridine at 50-60 degree, and then hydrolyzing gave II (R1 = HO; R2 = Ac, Bz, EtO2C, 4-MeC6H4SO2; resp.). Treating I (R =

with AcCl, BzCl or EtO2CCl in the absence of pyridine gave the pyrazolylanthranils III (R3 = Ac, Bz, EtO2C; resp.) via 1,7-addn. of the

chlorides. IT 57412-15-4P 67714-66-3P 67714-68-5P 67714-69-6P 67714-72-1P 67714-75-4P

67714-76-5P RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of) 57412-15-4 CAPLUS

1H-Pyrazole, 4-[(2-chlorophenyl)methoxymethyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

ANSWER 20 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued) 72619-87-5 ΙT RL: BIOL (Biological study) (pheophytin formation by action of, from chlorophyll) 72619-87-5 CAPLUS Methanone, (2,4-dichlorophenyl) (1,3,5-trimethyl-1H-pyrazol-4-yl) - (9CI)

(CA INDEX NAME)

ANSWER 21 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

67714-66-3 CAPLUS 1H-Pyrazole-4-methanol, 1-acetyl-.alpha.-(2-chlorophenyl)-3,5-dimethyl-, acetate (ester) (9CI) (CA INDEX NAME)

ŔŊ 67714-68-5 CAPLUS 1H-Pyrazole-4-methanol, 1-acetyl-.alpha.-(2-chlorophenyl)-3,5-dimethyl-(9CI) (CA INDEX NAME)

67714-69-6 CAPLUS 1H-Pyrazole-4-methanol, 1-benzoyl-.alpha.-(2-chlorophenyl)-3,5-dimethyl-(9CI) (CA INDEX NAME)

(Continued) L4 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2003 ACS

Ph

67714-72-1 CAPLUS 1H-Pyrazole-1-carboxylic acid, 4-[(2-chlorophenyl)hydroxymethyl]-3,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

67714-75-4 CAPLUS RN 1H-Pyrazole-4-methanol, .alpha.-(2-chlorophenyl)-3,5-dimethyl-1-[(4methylphenyl)sulfonyl] - (9CI) (CA INDEX NAME)

ANSWER 21 OF 26 CAPLUS COPYRIGHT 2003 ACS

RN 67714-76-5 CAPLUS 1H-Pyrazole-4-methanol, 1-benzoyl-.alpha.-(2-chlorophenyl)-3,5-dimethyl-, benzoate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2003 ACS 1978:546684 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

89:146684

TITLE: 3-acetyl-4-hydroxy-2-

Molecular structure of azines of

methoxy-4-phenylcrotonic acid lactones AUTHOR (S):

Masanobu; Sakaki, Toshimasa

CORPORATE SOURCE: SOURCE:

Osaka Coll. Pharm., Osaka, Japan Heterocycles (1978), 9(8), 1041-6 CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: LANGUAGE:

Journal English

Kurihara, Takushi; Sakamoto, Yasuhiko; Mori,

Treatment of I (R = H, Cl) with N2H4.2HCl gave a mixt. of the corresponding II and III. Crystal structures of II (R = Cl) and III (R = Cl) were detd. ΙT 67735-39-1P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) 67735-39-1 CAPLUS 1H-Pyrazole-3-carboxylic acid, 4-[(2-chlorophenyl)methoxymethyl]-5-methyl-, methyl ester (9C1) (CA INDEX NAME)

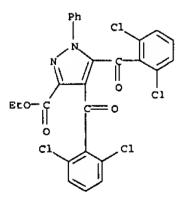
ANSWER 22 OF 26 CAPLUS COPYRIGHT 2003 ACS

(Continued)

L4 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2003 ACS 1975:514283 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 83:114283 Molecular structure and chemical reactivities of the TITLE: condensation products of o-substituted benzylidenacetylacetone with hydrazine dihydrochloride Kurihara, Takushi; Sugiyama, Mariko; Hirano, Hiroshi; AUTHOR (S): Tomita, Kenichi; Sakaki, Masayoshi Osaka Coll. Pharm., Osaka, Japan CORPORATE SOURCE: Journal of Heterocyclic Chemistry (1975), 12(3), SOURCE: 541-5 CODEN: JHTCAD; ISSN: 0022-152X Journal DOCUMENT TYPE: English LANGUAGE: For diagram(s), see printed CA Issue. Reaction of o-O2NC6H4CH:C(COMe)2 with H2NNH2.HCl in MeOH gave 4-(.alpha.-methoxy-o-nitrobenzyl)-3,5-dimethylpyrazole hydrochloride (I, HCl), whose structure was unambigously confirmed by an X-ray crystallog. analysis, via 4-(o-nitrobenzylidene)-3,5-dimethylisopyrazole II. II was synthesized by condensation of O-O2NC6H4CH : C(COMe)2 with H2NNH2.2HCl in MeCN. Analogously the corresponding o-chloro derivatives were obtained. These were converted to N-methyl and N-acetyl derivatives. 57412-15-4P 57412-17-6P 57412-19-8P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) 57412-15-4 CAPLUS 1H-Pyrazole, 4-[(2-chlorophenyl)methoxymethyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

1H-Pyrazole, 4-[(2-chlorophenyl)methoxymethyl]-1,3,5-trimethyl- (9CI)

L4 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1972:552091 CAPLUS DOCUMENT NUMBER: 77:152091 New rearrangement reaction leading to TITLE: dihydropyridazinone derivatives AUTHOR(S): Fusco, Raffaello; Dalla Croce, Piero Ist. Chim. Ind., Univ. Milano, Milan, Italy CORPORATE SOURCE: Gazzetta Chimica Italiana (1972), 102(6), 431-44 SOURCE: CODEN: GCITA9; ISSN: 0016-5603 DOCUMENT TYPE: Journal English LANGUAGE: For diagram(s), see printed CA Issue. Seven 4.5-dihydro-3-pyridazinones (I, R = CO2Me, CO2Et, Ph, etc.; R1 = AB Ph. substituted phenyl) were prepd. by refluxing the 4-pnenacylidene-5-nydroxy 2-pyrazolines (II) in PhMe. I-structures were confirmed by anal., ir, NMR, and some chem. reactions. On the basis of the kinetic measurements of the reaction a mechanism of the rearrangement is suggested. 37915-36-9P 37915-37-0P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) 37915-36-9 CAPLUS 1H-Pyrazole-3-carboxylic acid, 4,5-bis(2,6-dichlorobenzoyl)-1-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



57412-17-6 CAPLUS

INDEX NAME)

(CA

RN 37915-37-0 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 4,5-bis(2-chlorobenzoyl)-1-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

Me

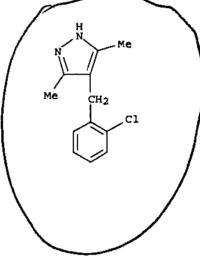
RN 57412-19-8 CAPLUS
CN 1H-Pyrazole, 1-acetyl-4-[(2-chlorophenyl)methoxymethyl]-3,5-dimethyl(9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2003 ACS 1964:411196 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 61:11196 ORIGINAL REFERENCE NO.: 61:1807e-g Formation of pyrophosphate from quinol phosphates in TITLE: dimethylformamide solution Lapidot, Aviva; Samuel, David AUTHOR (S): Weizmann Inst. Sci., Rehovoth, Israel CORPORATE SOURCE: J. Am. Chem. Soc. (1964), 86(9), 1886-7 SOURCE: CODEN: JACSAT; ISSN: 0002-7863 DOCUMENT TYPE: Unavailable LANGUAGE: AB Upon addn. of excess Br to a dry HCONMe2 soln. of I, 52.5% PO43- and 47.5% P2014- was liberated. Similar treatment of I or II in the presence of added (Bu4N)2HPO4 gave 68-9% PO43- and 31-2% P2O77-. The same reaction with I in the presence of180-labeled (NBu4)3PO4 gave 11.1, 5.1, and 3.9 atom-% excess 180 in added PO43-, product PO43-, and product P2077-, With II the same products were formed with 21.4, 12.8, and 8.0 atom-% excess 180, resp. The data are consistent with two pathways for the breakdown of quinol phosphate by Br in dry HCONMe2 involving both P-O and C-O bond fission. 91721-17-4, Pyrazole, 4-(o-chlorobenzyl)-3,5-dimethyl-ΙT (prepn. of) 91721-17-4 CAPLUS Pyrazole, 4-(o-chlorobenzyl)-3,5-dimethyl- (7CI) (CA INDEX NAME)

ACCESSION NUMBER: 1964:411195 CAPLUS DOCUMENT NUMBER: 61:11195 ORIGINAL REFERENCE NO.: 61:1807d-e Cyclization of o-chlorophenyl-.beta.-dicarbonyl TITLE: compounds through dicarbanion-benzyne intermediates Harris, Thomas M.; Hauser, Charles R. AUTHOR(S): CORPORATE SOURCE: Duke Univ., Durham, NC J. Org. Chem. (1964), 29(6), 1391-4 SOURCE: CODEN: JOCEAH; ISSN: 0022-3263 Journal DOCUMENT TYPE: Unavailable LANGUAGE: For diagram(s), see printed CA Issue. Bunnett's principle of ring closure involving the intramol. reaction of AΒ an anion with the benzyne moiety was adapted to certain cyclizations in which the terminal Me group of an o-chlorophenyl .beta.-diketone or .beta.-oxoaldehyde was condensed with the aromatic ring through a dicarbanion-benzyne intermediate. The cyclizations, effected by excess KNH2 in liquid NH3, afforded, e.g. I and II. 91721-17-4, Pyrazole, 4-(o-chlorobenzyl)-3,5-dimethyl-ΙT (prepn. of) 91721-17-4 CAPLUS Pyrazole, 4-(o-chlorobenzyl)-3,5-dimethyl- (7CI) (CA INDEX NAME) CN

L4 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2003 ACS



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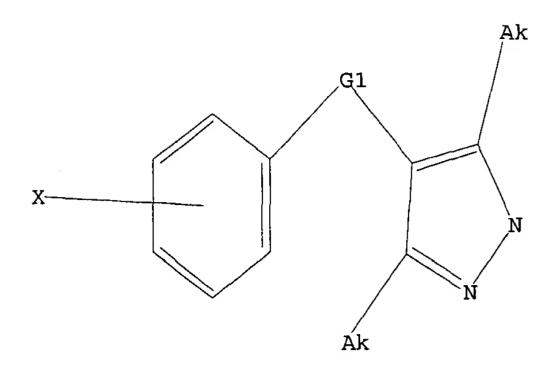
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L8 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:814087 CAPLUS 137:325234 DOCUMENT NUMBER: Preparation of aminophenyl (hetero)aryl ketones as TITLE: p38 MAP kinase inhibitors for treatment of inflammatory diseases or conditions Havez, Sophie Elisabeth INVENTOR (S): PATENT ASSIGNEE (5): Leo Pharma A/S, Den. PCT Int. Appl., 69 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE WO 2002083622 A2 20021024 WO 2002-DK236 20020410 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

WO 2002083622 A2 20021024 WO 2002-DK236 20020410

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO:

WO 2002-DK236 20020410

WO 2002-DK236 20020410

WO 2002-DK236 20020410

AB Title compds. I [wherein R1 = (un) substituted heteroaryl; X = 0, S, N(OH),
 or NRB; R8 = H or alkyl; R2 = H, halo(alkyl), hydroxy(alkyl), SH, CN, NO2,
 (cyclo)alkyl, alkenyl, alkynyl, aralkyl, alkylaryl, (ar)alkoxy, alkylthio,
 alkoxycarbonyl, alkylcarbonylamino, alkylcarboxy, alkylcarbonyl, NR6R7, or
 CONR6R7; R3 = H, (cyclo)alkyl, (cyclo)alkenyl, alkynyl, CO2H, or aryl; A
 (hetero)aryl; R4 = H, halo(alkyl), hydroxy(alkyl), SH, CN, CO2H, NO2,

L8 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 473423-64-2 CAPLUS
CN Methanethione,
[2-chloro-4-[{2-methylphenyl}amin

[2-chloro-4-[(2-methylphenyl)amino]phenyl)(1,3,5-trimethyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)

Me Me S S C1 NH

RN 473424-13-4 CAPLUS

Methanone, [2-chloro-4-[(2-methylphenyl)amino)phenyl](1,3,5-trimethyl-1Hpyrazol-4-yl)-, oxime (9CI) (CA INDEX NAME) L8 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) (cyclo)alkyl, (cyclo)alkenyl, alkynyl, heterocycloalkyl, (hetero)aryl, aralkyl, alkylaryl, (ar)alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonylamino, aminocarboaminoalkyl, aminosulfonyl, alkylsulfonylamino, alkylcarboxy, alkoxycarboxy, alkylsulfonyloxy, alkoxysulfonyl, alkylcarbonyl, NR6R7, or CONR6R7; R5 = H, halo(alkyl), hydroxy(alkyl), SH, CN, CO2H, carbamoyl, NH2, NO2, (cyclo)alkyl, (cyclo)alkenyl, alkynyl, heterocycloalkyl, (hetero)aryl, aralkyl, alkylaryl, {ar}alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonylamino, aminocarboaminoalkyl, aminosulfonyl, alkylsulfonylamino, alkylcarboxy, alkoxycarboxy, alkylsulfonyloxy, alkoxysulfonyl, alkylcarbonyl, NR6R7, or CONR6R7; R6 and R7 = independently H, alkyl, aryl, etc.; or pharmaceutically acceptable salts, hydrates, solvates, or esters thereofj were prepd. as inhibitors of MAP kinases, in particular the p38 MAP kinase. For example, 2-bromo-3-chlorothiophene was coupled with 2-chloro-4-nitrobenzoyl chloride to give 2-chloro-4-nitrophenyl 3-chloro-2-thienyl ketone (44%), which was reduced to the amine (95%). Addn. of 2-bromotoluene afforded II (31%). The latter displayed potent inhibitory activity against p38.alpha. MAP kinase with IC50 of 93.3 nM

inhibited prodn. of IL-1.beta., TNF-.alpha., and PMN-superoxide with IC50 values of 72 nM, 17 nM, and 6.3 nM, resp. Thus, I and compna. of I with other active components are useful as antiinflammatory agents in the prophylaxis or treatment of inflammatory diseases or conditions (no

data).

1T 473423-05-1P, [4-(2-Tolylamino)-2-chlorophenyl] [1,3,5-trimethyl-4-pyrazolyl]ketone 473423-64-2P, [4-(2-Tolylamino)-2-chlorophenyl] [1,3,5-trimethyl-4-pyrazolyl]thioketone 473424-13-4P, [4-(2-Tolylamino)-2-chlorophenyl] [1,3,5-trimethyl-4-pyrazolyl]ketoxime RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(p38 MAP kinase inhibitor; preps. of aminophenyl (hetero)aryl ketones as p38 MAP kinase inhibitors by coupling (halo)heterocycles with nitrobenzoyl chlorides followed by reds.)

RN 473423-05-1 CAPLUS

CN Methanone, [2-chloro-4-[(2-methylphenyl)amino]phenyl](1,3,5-trimethyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)

8 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued

L8 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS 2002:51437 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 136:118445 Pyrazole derivatives useful as reverse transcriptase TITLE: inhibitors, for the treatment of HIV infection, and their use, formulations, and preparation Corbau, Romuald Gaston; Mowbray, Charles Eric; INVENTOR (S): Perros. Manoussos; Stupple, Paul Anthony; Wood, Anthony Pfizer Limited, UK; Pfizer Inc. PATENT ASSIGNEE (S): PCT Int. Appl., 175 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: APPLICATION NO. DATE PATENT NO. KIND DATE 20020117 WO 2001-IB1174 20010621 WO 2002004424 Al C2 20021212 WO 2002004424 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 2001-899322 20010705 US 2002032184 A1 20020314 GB 2000-16787 A 20000707 PRIORITY APPLN. INFO.: US 2000-220087P P 20000721 OTHER SOURCE(S): MARPAT 136:118445 GΙ

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AB The invention relates to the use of pyrazole derivs. I and pharmaceutically acceptable salts and solvates thereof, in the manuf. of

reverse transcriptase inhibitor or modulator, to certain novel pyrazole

LB ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-06-3 CAPLUS
CN 1H-Pyrazole-1-acetic acid, 4-[(3,5-dichlorophenyl)methyl]-5-methyl-3-(1-methylethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 390355-10-9 CAPLUS
CN 1H-Pyrazole, 4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl- (9CI) (CA INDEX NAME)

RN 390355-16-5 CAPLUS

CN 1H-Pyrazole, 4-[(3,5-dichlorophenyl)methyl]-3-methyl-5-(1-methylethyl)-

ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) derivs. among these, and to processes for the prepn. of and compns. contq such novel derivs. [wherein: (i) R1 = H, (un) substituted (cyclo) alkyl, or benzyl, halo, cyano, OH derivs., CO2H or derivs., NH2 or derivs., etc.; R2 = H or -YZ; or (ii) R1R2 = C3-4 alkylene where one CH2 may be replaced by 0 or (un) substituted NH; Y = bond or C1-3 alkylene; 2 = (un) substituted alk(en/yn)yl, cycloalkyl, Ph, benzyl, or certain acylated or sulfonylated amino groups; R3 = H, (un) substituted (cyclo) alkyl, Ph, benzyl, cyano, halo, OH derivs., CO2H or derivs., NH2 or derivs.; R4 = (un) substituted or pyridyl; X = (un)substituted CH2, CO, S, SO, or SO21. The compds. are useful for treating infection by HIV or genetically related retroviruses, or a resultant case of AIDS. Examples include over 90 invention compds. and over 50 prepd. intermediates. For instance, coupling of 3-chloro-2,4-pentanedione with 3,5-dichlorothiophenol in the presence of NaI and K2CO3 gave the intermediate 3-[(3,5-dichlorophenyl)sulfanyl]-2.4pentanedione. Cyclocondensation of this dione with (2hydroxyethyl) hydrazine gave the invention pyrazole II. All example compds. inhibited recombinant HIV-1 reverse transcriptase in Vitro with IC50 values of < 100 .mu.M. 390355-01-8P, 2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1yllethanol 390355-06-3P, Ethyl [4-(3,5-dichlorobenzyl)-3isopropyl-5-methyl-1H-pyrazol-1-yl]acetate 390355-10-9P, 4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazole 390355-16-5P, 4-(3,5-Dichlorobenzyl)-3-isopropyl-5-methyl-1H-pyrazole 390355-17-6P, 4-(3,5-Difluorobenzyl)-3-isopropyl-5-methyl-1Hpyrazole 390355-19-8P, 4-(3-Chlorobenzyl)-3-isopropyl-5-methyl-1H-pyrazole 390355-20-1P, 2-[4-[(3,5-Dichlorophenyl)sulfanyl]-3,5-dimethyl-1H-pyrazol-1-yl]ethanol 390355-22-3P, 4-(3,5-Dichlorobenzyl)-3,5-dimethyl-1H-pyrazole 390355-37-0P, Ethyl 3-{4-(3,5-dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]propanoate 390355-40-5P, [4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1yl]methanol 390355-42-7P, 2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethanamine 390355-45-0P, Ethyl 4-[(3,5-dichlorophenyl)sulfanyl)-5-ethyl-1-(2-hydroxyethyl)-1H-pyrazole-3carboxylate 390355-46-1P, Ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-1-(2-hydroxyethyl)-1H-pyrazole-5-carboxylate 390355-83-6P , Ethyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1H-pyrazole-3carboxylate 390355-92-7P, 2-[4-[(3,5-Dibromophenyl)sulfanyl]-3,5diethyl-1H-pyrazol-1-yl]ethanol RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; prepn. of pyrazole derivs. as reverse transcriptase inhibitors for the treatment of HIV infection and AIDS) 390355-01-8 CAPLUS IH-Pyrazole-1-ethanol, 4-{(3.5-dichlorophenyl)methyl]-3,5-diethyl- (9CI) (CA INDEX NAME)

LB ANSWER 2 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
(9CI) (CA INDEX NAME)

RN 390355-17-6 CAPLUS
CN 1H-Pyrazole, 4-{(3,5-difluorophenyl)methyl]-3-methyl-5-(1-methylethyl)(9CI) (CA INDEX NAME)

RN 390355-19-8 CAPLUS CN 1H-Pyrazole, 4-[(3-chlorophenyl)methyl]-3-methyl-5-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 390355-20-1 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)thio]-3,5-dimethyl- (9CI)
(CA INDEX NAME)

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NEWS 9 Jun 03
                 MEDLINE Reload
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NEWS 12 Jul 02
                 USAN to be reloaded July 28, 2002;
         Jul 22
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                 NTIS has been reloaded and enhanced
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                 Aquatic Toxicity Information Retrieval (AQUIRE)
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         Aug 19
                 now available on STN
                 IFIPAT, IFICDB, and IFIUDB have been reloaded
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                 More calculated properties added to REGISTRY
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         Nov 25
                 TIBKAT will be removed from STN
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         Dec 02
                 CSA files on STN
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                 TOXCENTER enhanced with additional content
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                 ISMEC no longer available
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         Dec 30
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         Jan 13
 NEWS 40
         Jan 21
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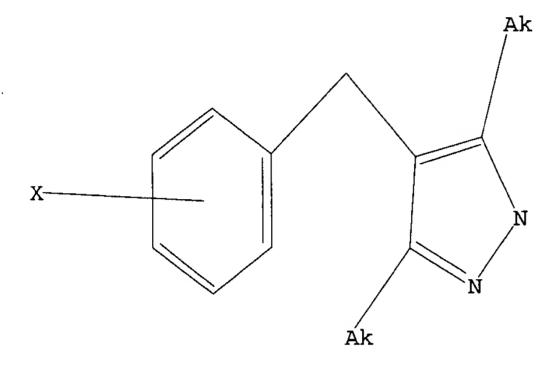
=> que L1

L2 QUE L1

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L1 STR



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100.0% PROCESSED 11960 ITERATIONS SEARCH TIME: 00.00.01

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=> s 13 L4 26 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:814087 CAPLUS DOCUMENT NUMBER: 137:325234

Preparation of aminophenyl (hetero) aryl ketones as TITLE:

p38

MAP kinase inhibitors for treatment of inflammatory diseases or conditions

INVENTOR (S): Havez, Sophie Elisabeth PATENT ASSIGNEE (S): Leo Pharma A/S, Den. SOURCE: PCT Int. Appl., 69 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2002-DK236 WO 2002083622 A2 20021024 20020410 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, PI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: US 2001-282494P P 20010410

MARPAT 137:325234 OTHER SOURCE(S):

$$\mathbb{R}^{1}$$
 \mathbb{R}^{2}
 \mathbb{R}^{5}
 \mathbb{R}^{3}
 \mathbb{R}^{4}
 \mathbb{R}^{3}
 \mathbb{R}^{4}
 \mathbb{R}^{6}
 \mathbb{R}^{6}

Title compds. I [wherein Rl = (un) substituted heteroaryl; X = 0, S. N(OH),

or NR8; R8 = H or alkyl; R2 = H, halo(alkyl), hydroxy(alkyl), SH, CN, NO2

(cyclo)alkyl, alkenyl, alkynyl, aralkyl, alkylaryl, (ar)alkoxy, alkylthio,

alkoxycarbonyl, alkylcarbonylamino, alkylcarboxy, alkylcarbonyl, NR6R7,

CONR6R7; R3 * H, (cyclo)alkyl, (cyclo)alkenyl, alkynyl, CO2H, or aryl; A

(hetero)aryl; R4 = H, halo(alkyl), hydroxy(alkyl), SH, CN, CO2H, NO2,

ANSWER 1 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 473423-64-2 CAPLUS

Methanethione,

[2-chloro-4-[(2-methylphenyl)amino]phenyl] {1,3,5-trimethyl-

1H-pyrazol-4-yl) - (9CI) (CA INDEX NAME)

473424-13-4 CAPLUS

Methanone, [2-chloro-4-[(2-methylphenyl)amino]phenyl](1,3,5-trimethyl-1H-

pyrazol-4-yl)-, oxime (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued) (cyclo)alkyl, (cyclo)alkenyl, alkynyl, heterocycloalkyl, (hetero)aryl, aralkyl, alkylaryl, (ar)alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonylamino, aminocarboaminoalkyl, aminosulfonyl, alkylsulfonylamino, alkylcarboxy, alkoxycarboxy, alkylsulfonyloxy, alkoxysulfonyl, alkylcarbonyl, NR6R7, or CONR6R7; R5 = H, halo(alkyl), hydroxy(alkyl), SH, CN, CO2H, carbamoyl, NH2, NO2, (cyclo)alkyl, (cyclo)alkenyl, alkynyl, heterocycloalkyl, (hetero)aryl, aralkyl, alkylaryl, (ar)alkoxy, alkylthio, alkoxycarbonyl, alkylcarbonylamino, aminocarboaminoalkyl, aminosulfonyl, alkylsulfonylamino, alkylcarboxy, alkoxycarboxy, alkylsulfonyloxy, alkoxysulfonyl, alkylcarbonyl, NR6R7, or CONR6R7; R6 and R7 = independently H, alkyl, aryl, etc.; or pharmaceutically acceptable salts, hydrates, solvates, or esters thereof] were prepd. as inhibitors of MAP kinases, in particular the p38 MAP kinase. For example, 2-bromo-3-chlorothiophene was coupled with 2-chloro-4-nitrobenzoyl chloride to give 2-chloro-4-nitrophenyl 3-chloro-2-thienyl ketone (44%), which was reduced to the amine (95%). Addn. of 2-bromotoluene afforded II (31%). The latter displayed potent inhibitory activity against p38.alpha. MAP kinase with IC50 of 93.3 nM

inhibited prodn. of IL-1.beta., TNP-.alpha., and PMN-superoxide with IC50 values of 72 nM, 17 nM, and 6.3 nM, resp. Thus, I and compns. of I with other active components are useful as antiinflammatory agents in the prophylaxis or treatment of inflammatory diseases or conditions (no

data). IT 473423-05-1P, [4-(2-Tolylamino)-2-chlorophenyl][1,3,5-trimethyl-4pyrazolyl]ketone 473423-64-2P, [4-(2-Tolylamino)-2chlorophenyl] [1,3,5-trimethyl-4-pyrazolyl] thicketone 473424-13-4P , [4-(2-Tolylamino)-2-chlorophenyl] [1,3,5-trimethyl-4-pyrazolyl]ketoxime RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BICL (Biological study); PREP (Preparation); USES

(p38 MAP kinase inhibitor; prepn. of aminophenyl (hetero)aryl ketones as p38 MAP kinase inhibitors by coupling (halo)heterocycles with nitrobenzoyl chlorides followed by redn.)

473423-05-1 CAPLUS

Methanone, [2-chloro-4-[(2-methylphenyl)amino]phenyl](1,3,5-trimethyl-1Hpyrazol-4-yl) - (9CI) (CA INDEX NAME)

ANSWER 1 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

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L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER:
                        2002:51437 CAPLUS
DOCUMENT NUMBER:
                         136:118445
                         Pyrazole derivatives useful as reverse transcriptase
TITLE:
                        inhibitors, for the treatment of HIV infection, and
                        their use, formulations, and preparation
                        Corbau, Romuald Gaston; Mowbray, Charles Eric;
INVENTOR (S):
Perros,
                        Manoussos; Stupple, Paul Anthony; Wood, Anthony
PATENT ASSIGNEE(S):
                        Pfizer Limited, UK; Pfizer Inc.
                        PCT Int. Appl., 175 pp.
SOURCE:
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                      KIND DATE
                                          APPLICATION NO. DATE
     WO 2002004424
                           20020117
                                          WO 2001-IB1174 20010621
                      A1
                      C2 20021212
     WO 2002004424
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, N2. PL, PT.
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 2002032184
                     A1 20020314
                                          US 2001-899322 20010705
PRIORITY APPLN. INFO.:
                                       GB 2000-16787 A 20000707
                                       US 2000-220087P P 20000721
OTHER SOURCE(S):
                        MARPAT 136:118445
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$$R^4$$
 R^1
 R^2
 R^3
 R^2
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 R^2
 R^3
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 R^3
 R^2
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 R^3

AB The invention relates to the use of pyrazole derivs. I and pharmaceutically acceptable salts and solvates thereof, in the manuf. of

reverse transcriptase inhibitor or modulator, to certain novel pyrazole

A ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-06-3 CAPLUS

CN 1H-Pyrazole-1-acetic acid, 4-[(3,5-dichlorophenyl)methyl]-5-methyl-3-(1-methylethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 390355-10-9 CAPLUS

CN 1H-Pyrazole, 4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl- (9CI) (CA INDEX

RN 390355-16-5 CAPLUS

RN 390355-16-5 CAPLUS CN 1H-Pyrazole, 4-{(3,5-dichlorophenyl)methyl]-3-methyl-5-(1-methylethyl)- L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued) derivs. among these, and to processes for the prepn. of and compns. contg.

such novel derivs. {wherein: (i) R1 = H, (un)substituted (cyclo)alkyl,
Ph.

or benzyl, halo, cyano, OH derivs., CO2H or derivs., NH2 or derivs., etc.;

R2 = H or -YZ; or (ii) R1R2 = C3-4 alkylene where one CH2 may be replaced by O or (un)substituted NH; Y = bond or C1-3 alkylene; Z = (un)substituted

alk(en/yn)yl, cycloalkyl, Ph, benzyl, or certain acylated or sulfonylated
amino groups; R3 = H, (un)substituted (cyclo)alkyl, Ph, benzyl, cyano,
halo, OH derivs., CO2H or derivs., NH2 or derivs.; R4 = (un)substituted
Ph

or pyridyl; X = (un)substituted CH2, CO, S, SO, or SO2]. The compds. are useful for treating infection by HIV or genetically related retroviruses, or a resultant case of AIDS. Examples include over 90 invention compds. and over 50 prepd. intermediates. For instance, coupling of 3-chloro-2,4-pentanedione with 3,5-dichlorothiophenol in the presence of NaI and K2CO3 gave the intermediate 3-[(3,5-dichlorophenyl)sulfanyl)-2,4-pentanedione. Cyclocondensation of this dione with (2-hydroxyethyl)hydrazine gave the invention pyrazole II. All example compds. inhibited recombinant HIV-1 reverse transcriptage in vitro with

IC50 values of < 100 .mu.M. 390355-01-8P, 2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1yl]ethanol 390355-06-3P, Ethyl (4-(3,5-dichlorobenzyl)-3isopropyl-5-methyl-1H-pyrazol-1-yl]acetate 390355-10-9P, 4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazole 390355-16-5P, 4-(3,5-Dichlorobenzyl)-3-isopropyl-5-methyl-1H-pyrazole 390355-17-6P, 4-(3,5-Difluorobenzyl)-3-isopropyl-5-methyl-1Hpyrazole 390355-19-8P, 4-(3-Chlorobenzyl)-3-isopropyl-5-methyl-1H-pyrazole 390355-22-3P, 4-(3,5-Dichlorobenzyl)-3,5-dimethyl-1Hpyrazole 390355-37-0P, Ethyl 3-[4-(3,5-dichlorobenzyl)-3,5diethyl-1H-pyrazol-1-yl}propanoate 390355-40-5P, [4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]methanol 390355-42-7P, 2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1yl]ethanamine 390355-83-6P, Ethyl 4-(3,5-dichlorobenzyl)-1-(2hydroxyethyl)-5-methyl-1H-pyrazole-3-carboxylate RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; prepn. of pyrazole derivs. as reverse transcriptase

inhibitors for the treatment of HIV infection and AIDS)

RN 390355-01-8 CAPLUS

CN 1H-Pyrazole-1-ethanol, 4~[(3,5-dichlorophenyl)methyl]~3,5-diethyl- (9CI)

(CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued) (9CI) (CA INDEX NAME)

RN 390355-17-6 CAPLUS
CN 1H-Pyrazole, 4-[(3,5-difluorophenyl)methyl]-3-methyl-5-(1-methylethyl)(9CI) (CA INDEX NAME)

RN 390355-19-8 CAPLUS

RN 390355-22-3 CAPLUS
CN 1H-Pyrazole, 4-[(3,5-dichlorophenyl)methyl]-3,5-dimethyl- (9CI) (CA INDEX
NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-37-0 CAPLUS CN 1H-Pyrazole-1-propanoic acid, 4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 390355-40-5 CAPLUS CN 1H-Pyrazole-1-methanol, 4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

390355-00-7P, 2-[4-(3,5-Dichlorobenzyl)-3-isopropyl-5-methyl-1Hpyrazol-1-yl]ethanol 390355-02-9P, 2-[4-(3-Chlorobenzyl)-3isopropyl-5-methyl-1H-pyrazol-1-yllethanol 390355-03-0P, 2-[4-(3,5-Difluorobenzyl)-3-isopropyl-5-methyl-1H-pyrazol-1-yl]ethanol 390355-04-1P, 2-[4-(3-Fluorobenzyl)-3-isopropyl-5-methyl-1Hpyrazol-1-yl]ethanol 390355-05-2P, 2-[4-(3,5-Dichlorobenzyl)-5isopropyl-3-methyl-1H-pyrazol-1-yllethanol 390355-07-4P, Ethyl [4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1H-pyrazol-1-yl]acetate 390355-08-5P, Ethyl (4-(3,5-dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]acetate 390355-09-6P, Ethyl [4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1H-pyrazol-1-yl]acetate 390355-11-0P, 2-[4-(3,5-Dichlorobenzyl)-3,5-dimethyl-1H-pyrazol-1-yl]ethanol 390355-12-1P, 2-[4-(3,5-Dichlorobenzyl)-5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl)ethanol 390355-14-3P, Ethyl [4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1H-pyrazol-1-yl]acetate 390355-15-4P, Ethyl [4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1H-pyrazol-1-yl]acetate 390355-18-7P, 4-(3-Fluorobenzyl)-3isopropyl-5-methyl-1H-pyrazole 390355-23-4P, 2-[4-(3,5-Dichlorobenzyl)-3,5-dimethyl-1H-pyrazol-1-yl]ethanamine 390355-24-5P, 2-[4-(3,5-Dichlorobenzyl)-5-ethyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]ethanol 390355-25-6P, 2-[4-(3,5-Dichlorobenzyl)-3-ethyl-5-(trifluoromethyl)-1H-pyrazol-1yl]ethanol 390355-26-7P, 2-[4-(3,5-Dichlorobenzyl)-5-ethyl-3methyl-1H-pyrazol-1-yl]ethanol 390355-27-8P, 2-[4-(3,5-Dichlorobenzyl)-3-ethyl-5-methyl-1H-pyrazol-1-yl]ethanol 390355-32-5P, (3,5-Dichlorophenyl)[3,5-diethyl-1-(2-hydroxyethyl)-1H-pyrazol-4-yl]methanone 390355-33-6P, (.+-.)-2-[4-[(3,5-Dichlorophenyl) (methoxy) methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethanol 390355-34-7P, 2-[4-(2,6-Difluorobenzyl)-3,5-diethyl-1H-pyrazol-1yl]ethanol 390355-35-8P, 2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl carbamate 390355-36-9P, Methyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]propanoate 390355-38-1P, 3-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1yl]propanamide 390355-39-2P, 3-[4-(3,5-Dichlorobenzyl)-3,5diethyl-1H-pyrazol-1-yl]-1-propanol 390355-41-6P, [4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]methyl carbamate 390355-43-8P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]benzamide 390355-44-9P, N-{2-{4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-1-methyl-1H-imidazole-4-sulfonamide 390355-49-4P, 3-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1yl]-1-propanamine 390355-51-8P, N-{2-[4-(3,5-Dichlorobenzyl)-3,5diethyl-1H-pyrazol-1-yl]ethyl]-2,2-difluoroacetamide 390355-52-9P , N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1yl]ethyl]ethanediamide 390355-53-0P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-6-oxo-1,6-dihydro-3pyridazinecarboxamide 390355-54-1P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-1,5-dimethyl-1H-pyrazole-3-carboxamide 390355-55-2P, 2-[(Aminocarbonyl)amino]-N-[2-[4-(3,5dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]acetamide 390355-56-3P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-ethoxyacetamide 390355-57-4P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-pyridinecarboxamide 390355-58-5P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-methoxyacetamide 390355-59-6P,

N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-6-oxo-1,6-dihydro-2-pyridinecarboxamide 390355-60-9P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-pyrazinecarboxamide

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-42-7 CAPLUS
IH-Pyrazole-1-ethanamine, 4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl(9CI) (CA INDEX NAME)

RN 390355-83-6 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 4-[(3,5-dichlorophenyl)methyl]-1-(2-hydroxyethyl)-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)

ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued) 390355-61-0P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-oxo-2H-pyran-5-carboxamide 390355-62-1P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-(1Htetrazol-1-yl)acetamide 390355-63-2P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]tetrahydro-2furancarboxamide 390355-64-3P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5diethyl-1H-pyrazol-1-yl]ethyl]-3-hydroxybenzamide 390355-65-4P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2hydroxyacetamide 390355-66-5P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5diethyl-1H-pyrazol-1-yl]ethyl]-1,2,3-thiadiazole-4-carboxamide 390355-67-6P, N-[2-[4-{3,5-Dichlorobenzyl}]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-(dimethylamino)acetamide 390355-68-7P, 2-Cyano-N-[2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1yl]ethyl]acetamide 390355-69-8P, N-[2-[4-(3,5-Dichlorobenzyl)-S-diethyl-1H-pyrazol-1-yl]ethyl]-2-fluorobenzamide 390355-70-1P***. [4-(3,5-Dichlorobenzyl)-3,5-diethyl-lH-pyrazol-1-yl]methyl phenyl imidodicarbonate ***390355-71-2P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5diethyl-1H-pyrazol-1-yl]ethyl]-N'-(2,6-difluorobenzoyl)urea 390355-72-3P, N-{2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-N'-propylurea 390355-73-4P, N-Benzoyl-N'-[2-[4-(3,5dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]urea 390355-74-5P, N-[2-[4-(3,5-Dichlorobenzyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2,4-dioxo-1,2,3,4-tetrahydro-5-pyrimidinesulfonamide 390355-84-7P, Ethyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-3methyl-1H-pyrazole-5-carboxylate RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (drug candidate; prepn. of pyrazole derivs. as reverse transcriptase

inhibitors for the treatment of HIV infection and AIDS)

N 390355-00-7 CAPLUS

N 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)methyl]-5-methyl-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

CH2-CH2-OH
N Me
CH2

RN 390355-02-9 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3-chlorophenyl)methyl]-5-methyl-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-03-0 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-difluorophenyl)methyl]-5-methyl-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 390355-04-1 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3-fluorophenyl)methyl]-5-methyl-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-09-6 CAPLUS
CN 1H-Pyrazole-1-acetic acid, 4-[(3-fluorophenyl)methyl]-5-methyl-3-(1-methylethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 390355-11-0 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)methyl]-3,5-dimethyl- (9CI)
(CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-05-2 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)methyl)-3-methyl-5-(1-methylethyl)- (9CI) (CA INDEX NAME)

CH2-CH2-OH

N
Pr-i

CH2

CH2

RN 390355-07-4 CAPLUS
CN 1H-Pyrazole-1-acetic acid, 4-[(3,5-dichlorophenyl)methyl]-3-methyl-5-(1-methylethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 390355-08-5 CAPLUS
CN 1H-Pyrazole-1-acetic acid, 4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-12-1 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)methyl]-5-methyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 390355-14-3 CAPLUS
CN 1H-Pyrazole-1-acetic acid, 4-[(3-chlorophenyl)methyl]-5-methyl-3-(1-methylethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 390355-15-4 CAPLUS
CN 1H-Pyrazole-1-acetic acid, 4-[(3,5-difluorophenyl)methyl]-5-methyl-3-(1-methylethyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-18-7 CAPLUS CN 1H-Pyrazole, 4-[(3-fluorophenyl)methyl]-3-methyl-5-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 390355-23-4 CAPLUS
CN 1H-Pyrazole-1-ethanamine, 4-[(3,5-dichlorophenyl)methyl]-3,5-dimethyl-(9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-24-5 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)methyl]-5-ethyl-3(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 390355-25-6 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)methyl]-3-ethyl-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-26-7 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)methyl]-5-ethyl-3-methyl(9CI) (CA INDEX NAME)

RN 390355-27-8 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)methyl]-3-ethyl-5-methyl-(9CI) (CA INDEX NAME)

RN 390355-32-5 CAPLUS CN Methanone,

CN Methanone,
(3,5-dichlorophenyl) [3,5-diethyl-1-(2-hydroxyethyl)-1H-pyrazol4-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-34-7 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(2,6-difluorophenyl)methyl]-3,5-diethyl- (9CI)
(CA INDEX NAME)

RN 390355-35-8 CAPLUS
CN 1H-Pyrazole-1-ethanol, 4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-,
carbamate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-36-9 CAPLUS
CN 1H-Pyrazole-1-propanoic acid,
4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-,
methyl ester (9CI) (CA INDEX NAME)

RN 390355-38-1 CAPLUS
CN 1H-Pyrazole-1-propanamide, 4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl(9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

N 390355-39-2 CAPLUS
N 1H-Pyrazole-1-propanol, 4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl- (9CI)
(CA INDEX NAME)

RN 390355-41-6 CAPLUS
CN 1H-Pyrazole-1-methanol, 4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-, carbamate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued

RN 390355-43-8 CAPLUS
CN Benzamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

RN 390355-44-9 CAPLUS
CN 1H-Imidazole-4-sulfonamide, N-[2-[4-[(3,5-dichlorophenyl)methyl)-3,5-diethyl-1H-pyrazol-1-yl]ethyl)-1-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 390355-49-4 CAPLUS
CN 1H-Pyrazole-1-propanamine, 4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl(9CI) (CA INDEX NAME)

RN 390355-51-8 CAPLUS CN Acetamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2,2-difluoro- [9CI) (CA INDEX NAME)

RN 390355-52-9 CAPLUS
CN Ethanediamide,
[2-[4-[(3,5-dichlorophenyl]methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-53-0 CAPLUS
CN 3-Pyridazinecarboxamide,
N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl1H-pyrazol-1-yl]ethyl]-1,6-dihydro-6-oxo- (9CI) (CA INDEX NAME)

RN 390355-54-1 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-[2-[4-{(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl}ethyl]-1,5-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

N 390355-55-2 CAPLUS
N Acetamide, 2-[(aminocarbonyl)amino]-N-[2-[4-{(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

RN 390355-56-3 CAPLUS
CN Acetamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1yl]ethyl]-2-ethoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-57-4 CAPLUS
CN 2-Pyridinecarboxamide,
N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1Hpyrazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

RN 390355-58-5 CAPLUS
CN Acetamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-59-6 CAPLUS
CN 2-Pyridinecarboxamide,
N-{2-{4-[(3,5-dichlorophenyl)methyl}-3,5-diethyl-1Hpyrazol-1-yl]ethyl]-1,6-dihydro-6-oxo- (9CI) (CA INDEX NAME)

RN 390355-60-9 CAPLUS
CN Pyrazinecarboxamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1Hpyrazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Et & N & N - CH_2 - CH_2 - NH - C & N \\ \hline \\ CH_2 & Et & \\ \hline \\ C1 & C1 & \\ \end{array}$$

RN 390355-61-0 CAPLUS
CN 2H-Pyran-5-carboxamide, N-[2-{4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl1H-pyrazol-1-yl]ethyl)-2-oxo- (9CI) (CA INDEX NAME)

Et
$$N$$
 N CH_2 CH_2 N CH_2 CH_2 N CH_2 CH

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 390355-62-1 CAPLUS
CN 1H-Tetrazole-1-acetamide,

N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

RN 390355-63-2 CAPLUS
CN 2-Furancarboxamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]tetrahydro- (9CI) (CA INDEX NAME)

RN 390355-64-3 CAPLUS CN Benzamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-

yl]ethyl]-3-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-65-4 CAPLUS
CN Acetamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-hydroxy- (9CI) (CA INDEX NAME)

RN 390355-66-5 CAPLUS
CN 1,2,3-Thiadiazole-4-carboxamide,
N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5diethyl-1H-pyrazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-67-6 CAPLUS
CN Acetamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-2-(dimethylamino)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 390355-68-7 CAPLUS
CN Acetamide, 2-cyano-N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 390355-69-8 CAPLUS
CN Benzamide, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1yl]ethyl]-2-fluoro- (9CI) (CA INDEX NAME)

RN 390355-70-1 CAPLUS
CN Imidodicarbonic acid, [4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1Hpyrazol-1-yl)methyl phenyl ester (9CI) (CA INDEX NAME)

RN 390355-71-2 CAPLUS
CN Benzamide,
N-[{[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1yl]ethyl]amino]carbonyl]-2,6-difluoro- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

Et
$$N$$
 N CH_2 CH_2 N CH_2 CH_3 CH_4 CH_5 CH_5 CH_5 CH_6 CH_6 CH_7 CH_8 CH_8 CH_8 CH_8 CH_8 CH_9 CH_9

RN 390355-72-3 CAPLUS CN Urea, N-[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1-yl]ethyl]-N'-propyl- (9CI) (CA INDEX NAME)

RN 390355-73-4 CAPLUS
CN Benzamide,
N-[[[2-[4-[(3,5-dichlorophenyl)methyl]-3,5-diethyl-1H-pyrazol-1yl]ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

Butyldimethylsilyl)oxy]ethyl]-4-[(3,5-dichlorophenyl)(methoxy)methyl]-3,5-diethyl-1H-pyrazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; prepn. of pyrazole derivs. as reverse transcriptase inhibitors for the treatment of HIV infection and AIDS)

RN 390356-22-6 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 4-[(3,5-dichlorophenyl)methyl]-1-(2-hydroxyethyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 390356-29-3 CAPLUS
CN 1H-Pyrazole-4-methanol, .alpha.-(3,5-dichlorophenyl)-1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-3,5-diethyl- (9CI) (CA INDEX

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 390355-74-5 CAPLUS
CN 5-Pyrimidinesulfonamide,
N-{2-[4-{(3,5-dichlorophenyl)methyl]-3,5-diethyl1H-pyrazol-1-yl]ethyl}-1,2,3,4-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Et & N & CH_2 - CH_2 - NH - S & NH \\ \hline \\ CH_2 & Et & O & H \\ \hline \\ C1 & C1 & C1 & C1 \\ \end{array}$$

RN 390355-84-7 CAPLUS
CN 1H-Pyrazole-5-carboxylic acid, 4-[(3,5-dichlorophenyl)methyl]-1-(2-hydroxyethyl)-3-methyl-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued

RN 390356-30-6 CAPLUS

CN Methanone,
(3,5-dichlorophenyl) [1-[2-[[(1,1-dimethylethyl)dimethylsilyl]ox
 y]ethyl]-3,5-diethyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 390356-31-7 CAPLUS
CN 1H-Pyrazole, 4-[(3,5-dichlorophenyl)methoxymethyl]-1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-3,5-diethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

TITLE: Modulators of cellular proliferation and angiogenesis, methods for use and identification thereof INVENTOR(S): Pillarisetti, Sivaram; Goldberg, Itzhak D. PATENT ASSIGNEE(S): North Shore-Long Island Jewish Health System, USA SOURCE: PCT Int. Appl., 107 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: PATENT NO. APPLICATION NO. DATE KIND DATE ----------WO 2002002593 A2 · 20020110 WO 2001-US20849 20010629 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 2001077854 A5 20020114 AU 2001-77854 20010629 PRIORITY APPLN. INFO.: US 2000-606628 A2 20000629 WO 2001-US20849 W 20010629 OTHER SOURCE(S): MARPAT 136:79802 AB The invention is directed to small org. mols. and peptides having the ability to mimic or agonize hepatocyte growth factor/ scatter factor (HGF/SF) activity, or inhibit or antagonize HGF/SF activity, the former useful for promoting, for example, vascularization of tissues or organs for promoting wound or tissue healing, or augmenting or restoring blood flow to ischemic tissues such as the heart following myocardial infarction. Inhibition of cellular growth or proliferation is beneficial in the treatment, for example, of inflammatory diseases such as inflammatory joint and skin diseases, and dysproliferative diseases such as cancer. IT 261349-35-3 387352-92-3 387352-93-4 387352-94-5 387352-95-6 387352-96-7 387352-97-8 387352-98-9 387352-99-0 387353-00-6 387353-01-7 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (peptide and small-mol. modulators of cellular proliferation and angiogenesis) 261349-35-3 CAPLUS 1H-Pyrazole, 4-[(2-chloro-6-fluorophenyl)methyl]-3,5-bis(1,1-

L4 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2003 ACS

2002:31482 CAPLUS

136:79802

ACCESSION NUMBER:

DOCUMENT NUMBER:

L4 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 387352-92-3 CAPLUS
CN 1H-Pyrazole, 4-[(2,6-dichlorophenyl)methyl]-1-[[3-(2,6-dichlorophenyl)-5-methyl-4-isoxazolyl]carbonyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 387352-93-4 CAPLUS
CN 1H-Pyrazole, 4-[(2-chloro-6-fluorophenyl)methyl]-1-[[3-(2,6-dichlorophenyl)-5-methyl-4-isoxazolyl]carbonyl]-3,5-dimethyl- (9CI) (CA

RN 387352-94-5 CAPLUS
CN 1H-Pyrazole, 4-[(2-chloro-6-fluorophenyl)methyl]-1-[(3,4-dichlorophenyl)sulfonyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

4 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

dimethylethyl) - (9CI) (CA INDEX NAME)

RN 387352-95-6 CAPLUS
CN 1H-Pyrazole, 4-[(2-chloro-6-fluorophenyl)methyl]-1,3,5-trimethyl- (9CI)
(CA INDEX NAME)

RN 387352-97-8 CAPLUS
CN 1H-Pyrazole-1-propanenitrile,
4-[(2,6-dichlorophenyl)methyl]-3,5-dimethyl(9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 387352-98-9 CAPLUS
CN 1H-Pyrazole, 4-[(2-chloro-6-fluorophenyl)methyl]-1-(2,6-dichlorobenzoyl)3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 387352-99-0 CAPLUS
CN 1H-Pyrazole, 4-[(2-chloro-6-fluorophenyl)methyl]-1-(2,2-dimethyl-1oxopropyl)-3,5-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 387353-00-6 CAPLUS
CN 1H-Pyrazole, 1-(4-chlorobenzoyl)-4-[(2-chloro-6-fluorophenyl)methyl]-3,5dimethyl- {9Cl} (CA INDEX NAME)

RN 387353-01-7 CAPLUS
CN 1H-Pyrazole, 4-[(2-chloro-6-fluorophenyl)methyl]-3,5-dimethyl-1-(2-thienylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1997:618103 CAPLUS

DOCUMENT NUMBER: 127:278193

TITLE: Preparation of azolobenzazepines as neurologically

INVENTOR(S):

Brush, Kelly Anne; Chapdelaine, Marc Jerome; Frazee,
William Jackson; Garcia-Davenport, Laura Enid; Lewis,

Joseph James

PATENT ASSIGNEE(S): Zeneca Ltd., UK; Brush, Kelly Anne; Chapdelaine, Marc Jerome; Frazee, William Jackson; Garcia-Davenport,

Laura Enid; Lewis, Joseph James

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE A1 19970912 WO 9732883 WO 1997-GB592 19970304 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG CA 2247453 AA 19970912 CA 1997-2247453 19970304 AU 9722253 A1 19970922 AU 1997-22253 19970304 AU 723860 B2 20000907 EP 1997-905327 19970304 EP 888350 A1 19990107 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI CN 1224424 CN 1997-192864 19970304 19990728 20020515 CN 1084747 JP 2000506160 T2 20000523 JP 1997-531562 19970304 ZA 9701964 19970908 ZA 1997-1964 19970306 А US 1998-142221 19980903 US 6124281 Α 20000926 19981106 NO 9804106 NO 1998-4106 19980907 US 6313290 US 2000-668261 B1 20011106 20000922 US 1996-13528P P 19960308 PRIORITY APPLN. INFO.: WO 1997-GB592 W 19970304

US 1998-142221 A3 19980903 OTHER SOURCE(S): MARPAT 127:278193

$$R^2$$
 R^3
 R^4
 R^4

L4 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

AB The title compds. [I; X = 0, S; R1-R4 = H, perfluoro-lower-alkyl, halo, NO2, CN; C together with the carbon atoms to which it is attached forms a 5-membered arom. heterocycle, useful for the treatment of neurol. disorders such as stroke, were prepd. and formulated. Thus, reaction of

7-chloro-3-(ethoxycarbonyl)pyrazolo[3,4-c][1]benzazepine-4,10(1H,9H)-dione with 2-propanol in the presence of conc. HCl afforded 48% II which showed IC50 of 0.064 .mu.M against [3H]-glycine binding at the N-methyl-D-aspartate receptor.

IT 196864-34-3P 196864-35-4P 196864-36-5P 196864-44-5P 196864-45-6P 196864-46-7P

196864-47-8P 196864-50-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of azolobenzazepines as neurol. active agents)

(preph. of azolobenzaz N 196864-34-3 CAPLUS

CN 1H-Pyrazole-3,5-dicarboxylic acid, 4-[{4-chloro-2-

nitrophenyl)hydroxymethyl]-, diethyl ester (9CI) (CA INDEX NAME)

RN 196864-35-4 CAPLUS CN 1H-Pyrazole-3,5-dicarboxylic acid, 4-(4-chloro-2-nitrobenzoyl)-, diethyl

ester (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 196864-45-6 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4-(2-amino-4-chlorobenzoyl)-5-benzoyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 196864-46-7 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4-{(4-chloro-2-nitrophenyl)hydroxymethyl]-5-

(trifluoromethyl) -, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

1 196864-36-5 CAPLUS

IN 1H-Pyrazole-3,5-dicarboxylic acid, 4-(2-amino-4-chlorobenzoyl)-, diethyl ester (9CI) (CA INDEX NAME)

RN 196864-44-5 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 3-benzoyl-5-(4-chloro-2-nitrobenzoyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 196864-47-8 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4-(4-chloro-2-nitrobenzoyl)-5-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)

N 196864-50-3 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4-(2-amino-4-chlorobenzoyl)-5-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2003 ACS 1996:348157 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 125:142618 An efficient synthesis of ethyl 4-aroyl-5-TITLE: trifluoromethylpyrazole 3-carboxylates Cyrener, Joerg; Lauterbach, Christa; Burger, Klaus AUTHOR (S): Department of Organic Chemistry, University of CORPORATE SOURCE: Leipzig, Talstr. 35, 03410, Leipzig, Germany Journal of Fluorine Chemistry (1996), 78(1), 55-58 SOURCE: CODEN: JFLCAR; ISSN: 0022-1139 PUBLISHER: Elsevier Journal DOCUMENT TYPE: LANGUAGE: English OTHER SOURCE(S): CASREACT 125:142618 GI Et 4-aroyl-5-trifluoromethylpyrazole 3-carboxylates I (R = Ph, 4-BrC6H4, 4-ClC6H4, 2-naphthyl) have been synthesized from readily available 4,4-bis(trifluoromethyl)-1-oxabuta-1,3-dienes (vinyl ketone) and Et diazoacetate and subsequent thermally induced elimination of trifluoromethane in good yield. 179612-96-5P 179612-97-6P RL: SPN (Synthetic preparation); PREP (Preparation) (two-step prepn. of Et 4-aroyl-5-trifluoromethylpyrazole 3-carboxylates via Et diazoacetate and vinyl ketones) 179612-96-5 CAPLUS 1H-Pyrazole-3-carboxylic acid, 4-(4-bromobenzoyl)-5-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME) RN 179612-97-6 CAPLUS

ACCESSION NUMBER: 1995:340802 CAPLUS DOCUMENT NUMBER: 122:99346 TITLE: Synergic herbicides containing pyrazole and indandione derivatives Ikeda, Osamu; Minami, Noriko INVENTOR (S): PATENT ASSIGNEE(S): Mitsubishi Chem Ind, Japan Jpn. Kokai Tokkyo Koho, 5 pp. SOURCE: CODEN: JKXXAF DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION: KIND DATE PATENT NO. APPLICATION NO. DATE ----JP 1993-88643 19930415 A2 19941025 JP 06298612 19930415 PRIORITY APPLN. INFO.: JP 1993-88643 A synergistic herbicide esp. effective in rice paddies contains 2-[2-(3-chloropheny1)-2,3-epoxypropy1]-2-ethylindan-3-dione with .gtoreq. 1 compd. selected from the group comprising 4-(2,4-dichlorobenzoyl)-1,3dimethylpyrazol-5-yl-p-toluenesulfonate, 4-(2,4-dichlorobenzoyl)-1,3dimethyl-5-phenacyloxypyrazole, and 4-(2,4-dichloro-3-methylbenzoyl)-1,3dimethyl-5-(4-methylphenacyloxy)pyrazole. 160780-74-5 160780-76-7 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); (synergic herbicides contg. pyrazole and indandione derivs.) 160780-74-5 CAPLUS 1H-Indene-1,3(2H)-dione, 2-[[2-(3-chlorophenyl)oxiranyl]methyl]-2-ethyl-, mixt. with 2-[4-(2,4-dichlorobenzoyl)-1,3-dimethyl-1H-pyrazol-5-yl]-1phenylethanone (9CI) (CA INDEX NAME) CM 1 CRN 133220-30-1 CMF C20 H17 C1 O3

L4 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2003 ACS

CRN 81860-84-6

CMF C20 H16 Cl2 N2 O2

(Continued)

ANSWER 6 OF 26 CAPLUS COPYRIGHT 2003 ACS

ANSWER 6 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1994:457514 CAPLUS DOCUMENT NUMBER: 121:57514 TITLE: Preparation of tetrazolinones as herbicides for use íπ a rice paddy INVENTOR(S): Goto, Toshio; Hayakawa, Hidenori; Watanabe, Yukiyoshi; Narabu, Shinichi; Yanagi, Akihiko PATENT ASSIGNEE(S): Nihon Bayer Agrochem K.K., Japan Eur. Pat. Appl., 17 pp. SOURCE: CODEN: EPXXDW DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE -----EP 578090 A2 19940112 EP 1993-110272 19930628 EP 578090 19940427 A3 EP 578090 B1 19961227 R: BE, CH, DE, ES, FR, GB, IT, LI, NL JP 06199818 A2 19940719 JP 1992-312607 19921029 AU 9341561 A1 19940113 AU 1993-41561 19930628 AU 661162 **B2** 19950713 ES 2095524 **T3** 19970216 ES 1993-110272 19930628 US 5347010 A 19940913 US 1993-86606 19930701 CA 2099930 AΑ 19940110 CA 1993-2099930 19930706 **HU 65462** 19940628 HU 1993-1977 19930708

CN 1993-108424

US 1994-230949

CN 1996-108280

JP 1992-204271

JP 1992-312607

19930709

19940421

19960629

19920709

19921029

19930701

US 1993-86606 OTHER SOURCE(S): MARPAT 121:57514 GI

Α

В

A

19940316

19970416

19951114

19970305

CN 1083809

CN 1034573

US 5466660

CN 1144220

PRIORITY APPLN. INFO.:

$$\begin{array}{c|c}
X & O \\
N & NCONR^{1}R^{2}
\end{array}$$

$$\begin{array}{c|c}
X & O \\
N & NCONR^{1}R^{2}
\end{array}$$

$$\begin{array}{c|c}
Y & NCONR^{1}R^{2}
\end{array}$$

The title compds. I [X = Cl, Br; Y = H, Cl, Br, etc.; R1, R2 = alkyl] are prepd. A mixt. of tetrazolinone II, potassium carbonate, and and diethylcarbamoyl chloride in acetonitrile was refluxed for 5 h to give,

ANSWER 7 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued) after workup, I [X = C1; Y = H; R1 = R2 = Et] (III). III at 0.15 g/ha gave 100% control of Cyperus. 154464-02-5 154464-03-6 RL: RCT (Reactant); RACT (Reactant or reagent)

(herbicidal compn. contg.)

154464-02-5 CAPLUS

Ethanone, 2-[4-(2,6-dichlorobenzoyl)-1,3-dimethyl-1H-pyrazol-5-yl)-1phenyl- (9CI) (CA INDEX NAME)

154464-03-6 CAPLUS

Ethanone, 2-[4-(2,6-dichlorobenzoyl)-1,3-dimethyl-1H-pyrazol-5-yl]-1-(4methylphenyl) - (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1994:270383 CAPLUS DOCUMENT NUMBER: 120:270383 TITLE:

(Biphenylmethyl)pyrazole angiotensin II antagonists INVENTOR(S): Ashton, Wallace T.; Chang, Linda L.; Greenlee,

William

J.; Hutchins, Steven M. PATENT ASSIGNEE(S): Merck and Co., Inc., USA SOURCE: U.S., 30 pp.

CODEN: USXXAM DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE -----US 5262412 19931116 US 1993-28845 19930310 PRIORITY APPLN. INFO.: US 1993-28845 19930310 OTHER SOURCE(S): MARPAT 120:270383 GI

The title compds. [I; R1 = SO2NHCOR23, SO2NHCO2R24; R23 = aryl, heteroaryl, (un)branched (un)substituted C1-6 alkyl, C3-6 alkenyl, etc.; R24 = (un)branched (un)substituted C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl,

aryl, (un) substituted C3-7 cycloalkyl; R2, R3 = H, F, Cl, CF3, C1-4 alkyl;

R4 = H, F; R5 = H, F, C1, CF3, C1-4 alkyl; R6 = C1-6 alkyl; R8 = H, F, Çl, Br, iodo, OH, C1-4 alkoxy, (un) substituted NH2, CN, etc.; V1 = CH3, CF3,

Cl, iodo, F, OMe, NO2, CN; V2 = amine- or carbonyl- or S-based substituent at ring position 4 or 5], which are angiotensin II antagonists (no data), useful in the treatment of hypertension and related cardiovascular

disorders (no data), are prepd. and I-contg. formulations presented. Thus, Et 3-n-butyl-4-[[2'-[N-(2-chlorobenzoyl)sulfamoyl]biphenyl-4yl]methyl]-1-[2-chloro-5-(valerylamino)phenyl]-1H-pyrazole-5-carboxylate was prepd. from Et 2,4-dioxooctanoate in 10 steps. 154056-98-1 154057-09-7 154057-12-2

154057-24-6 154057-35-9 154057-36-0 154057-37-1 154057-38-2 154057-39-3 154057-40-6 154057-41-7 154057-42-8 154057-43-9 154057-44-0 154057-45-1 154057-46-2 154057-47-3 154057-48-4 RL: RCT (Reactant); RACT (Reactant or reagent) (angiotensin II antagonist) RN. 154056-98-1 CAPLUS Benzamide, N-[[4'-[[3-butyl-1-[2-chloro-5-[(1-oxopropyl)amino]phenyl]-5cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-2chloro- (9CI) (CA INDEX NAME)

(Continued)

ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS

154057-09-7 CAPLUS Benzamide, N-[[4'-[[3-butyl-1-[2-chloro-5-[(1-oxopentyl)amino]phenyl]-5cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-2chloro- (9CI) (CA INDEX NAME)

ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

154057-24-6 CAPLUS RN Benzamide, N-[[4'-[[3-butyl-5-cyano-1-[5-[(methoxyacetyl)amino]-2-

(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]2-yl]sulfonyl]-2-chloro- (9CI) (CA INDEX NAME)

RN 154057-35-9 CAPLUS

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS

154057-12-2 CAPLUS RN Benzamide, N-butyl-3-[3-butyl-4-[[2'-[[(2-chlorobenzoyl)amino]sulfonyl]-3fluoro[1,1'-biphenyl]-4-yl]methyl]-5-cyano-1H-pyrazol-1-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued) Benzamide, N-[{4'-[[3-butyl-5-cyano-1-[5-[(1-oxopropyl)amino]-2-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-

2-yl]sulfonyl]-2-chloro- (9CI) (CA INDEX NAME)

154057-36-0 CAPLUS Benzamide, N-[[4'-[[3-butyl-5-cyano-1-[5-[(ethoxyacetyl)amino]-2-

(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-2-chloro- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-37-1 CAPLUS

N Benzamide, N-[[4'-[[3-butyl-5-cyano-1-[5-[(methoxyacetyl)amino]-2-

(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]2-yl]sulfonyl]-2-fluoro- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-38-2 CAPLUS

CN Benzamide, N-[[4'-[[3-butyl-5-cyano-1-[5-[(ethoxyacetyl)amino]-2-

(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]2-yl]sulfonyl]-2-fluoro- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-39-3 CAPLUS

CN Benzamide, N-butyl-3-[3-butyl-5-cyano-4-[[3-fluoro-2'-[[(2-

RN 154057-40-6 CAPLUS

CN Benzamide, N-[[4'-[[5-cyano-1-[5-[(methoxyacetyl)amino]-2-

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS (Continued)
(trifluoromethyl)phenyl]-3-propyl-1H-pyrazol-4-yl]methyl]-3'-fluoro(1,1'-biphenyl]-2-yl]sulfonyl]-2-fluoro- (9CI) (CA INDEX NAME)

RN 154057-41-7 CAPLUS

CN Benzamide, N-[[4'-[[5-cyano-1-[5-[(ethoxyacetyl)amino]-2-(trifluoromethyl)phenyl]-3-propyl-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'biphenyl]-2-yl]sulfonyl]-2-fluoro- (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-38-2 CAPLUS CN Benzamide, N-{{4'-[(3-butyl-5-cyano-1-[5-[(ethoxyacetyl)amino]-2-

(trifluoromethy1)pheny1]-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]2-yl]sulfonyl]-2-fluoro- (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-39-3 CAPLUS

CN Benzamide, N-butyl-3-[3-butyl-5-cyano-4-[[3-fluoro-2'-[[(2-

fluorobenzoyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]methyl]-1H-pyrazol-1-yl]4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-40-6 CAPLUS

CN Benzamide, N-[[4'-[[5-cyano-1-[5-[(methoxyacetyl)amino]-2-(trifluoromethyl)phenyl]-3-propyl-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-2-fluoro- (9CI) (CA INDEX NAME)

RN 154057-41-7 CAPLUS

Benzamide, N-{[4'-[[5-cyano-1-[5-[(ethoxyacetyl)amino]-2-(trifluoromethyl)phenyl]-3-propyl-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'- L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) biphenyl]-2-yl]sulfonyl)-2-fluoro- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \bullet \\ \bullet \end{array}$$

RN 154057-42-8 CAPLUS

Benzamide, putyl-4-chloro-3-[4-[[2'-[[(2-c

N-butyl-4-chloro-3-[4-[[2'-[[(2-chlorobenzoyl)amino]sulfonyl]-3-fluoro[1,1'-biphenyl]-4-yl]methyl]-5-cyano-3-propyl-1H-pyrazol-1-yl]-(9CI) (CA INDEX NAME)

L8 - ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-43-9 CAPLUS
CN Benzamide, N-butyl-4-chloro-3-[5-cyano-4-[[3-fluoro-2'-[[(2-fluorobenzoyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]methyl]-3-propyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 154057-44-0 CAPLUS
CN Benzamide, N-butyl-3-[4-[[2'-[[(2-chlorobenzoyl)amino]sulfonyl]-3-fluoro[1,1'-biphenyl]-4-yl]methyl]-5-cyano-3-propyl-1H-pyrazol-1-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-45-1 CAPLUS
CN Benzamide, N-butyl-3-[5-cyano-4-[[3-fluoro-2'-[[(2-fluorobenzoyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]methyl]-3-propyl-1H-pyrazol-1-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-46-2 CAPLUS CN Benzamide,

N-{[4'-[[1-[5-(acetylamino)-2-chlorophenyl]-3-butyl-5-cyano-1Hpyrazol-4-yl}methyl}-3'-fluoro-5-propyl[1,1'-biphenyl]-2-yl}sulfonyl}-2fluoro- (9CI) (CA INDEX NAME)

RN 154057-47-3 CAPLUS
CN Carbamic acid, [[4'-[[1-[5-(acetylamino)-2-chlorophenyl]-3-butyl-5-cyano-

1H-pyrazol-4-yl]methyl]-3'-fluoro-5-propyl[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-48-4 CAPLUS

CN Benzamide, N-[[4'-[[3-butyl-5-cyano-1-[5-[(methoxyacetyl)amino]-2-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3,3'-difluoro[1,1'-biphenyl]-2-yl]sulfonyl]-2-fluoro-(9CI) (CA INDEX NAME)

IT 154056-98-1 154057-09-7 154057-10-0 154057-11-1 154057-12-2 154057-24-6 154057-30-4

RL: RCT (Reactant); RACT (Reactant or reagent)

ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) (prepn. as angiotensin II antagonist)

154056-98-1 CAPLUS

Benzamide, N-[[4'-[[3-butyl-1-[2-chloro-5-[(1-oxopropyl)amino]phenyl]-5cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-2-chloro- (9CI) (CA INDEX NAME)

154057-09-7 CAPLUS

Benzamide, N-[[4'-[[3-butyl-1-[2-chloro-5-[(1-oxopentyl)amino]phenyl]-5-cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-2chloro- (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS

154057-10-0 CAPLUS

Carbamic acid,

[[4'-[[3-butyl-1-[2-chloro-5-[(1-oxopropyl)amino]phenyl]-5-cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS

154057-11-1 CAPLUS

Carbamic acid, [[4'-[(3-butyl-1-[2-chloro-5-[(1-oxopropyl)amino]phenyl]-5cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro{1,1'-biphenyl]-2-yl]sulfonyl]-,
butyl ester (9CI) (CA INDEX NAME)

RN 154057-12-2 CAPLUS

CN Benzamide,

N-butyl-3-[3-butyl-4-[[2'-[[(2-chlorobenzoyl)amino]sulfonyl]-3-

ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) fluoro[1,1'-biphenyl]-4-yl]methyl]-5-cyano-1H-pyrazol-1-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

154057-24-6 CAPLUS

Benzamide, N-[[4'-[[3-buty1-5-cyano-1-[5-[(methoxyacetyl)amino]-2-

(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]2-yl]sulfonyl]-2-chloro- (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-30-4 CAPLUS
CN Acetamide, N-[3-{3-butyl-5-cyano-4-[[3-fluoro-2'-[[(2-fluorophenyl)amino]sulfonyl]-5'-propyl[1,1'-biphenyl]-4-yl]methyl]-1H-pyrazol-1-yl]-4-chlorophenyl]- (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) buty1-1-(2-chloro-5-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 154057-03-1 CAPLUS
CN 1H-Pyrazole-5-carboxamide,
4-{(4-bromo-2-fluorophenyl)methyl}-3-butyl-1-(2-chloro-5-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 154057-04-2 CAPLUS
CN 1H-Pyrazole-5-carbonitrile, 4-[(4-bromo-2-fluorophenyl)methyl]-3-butyl-1(2-chloro-5-nitrophenyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) 154057-00-8 154057-01-9 154057-02-0 154057-03-1 154057-04-2 154057-05-3 154057-06-4 154057-07-5 154057-08-6 154057-22-4 154057-23-5 154057-25-7 154057-27-9 154057-28-0 154057-29-1 154057-32-6 154057-33-7 154057-34-8 RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. as intermediate in prepn. of (biphenylmethyl) pyrazole angiotensin II antagonists) 154057-00-8 CAPLUS 1H-Pyrazole-5-carboxylic acid, 4-[(4-bromo-2-fluorophenyl)methyl]-3-butyl-1-(2-chloro-5-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME) 154057-01-9 CAPLUS CN 1H-Pyrazole-5-carboxylic acid, 4-[(4-bromo-2-fluorophenyl)methyl]-3-butyl-1-(2-chloro-5-nitrophenyl)- (9CI) (CA INDEX NAME)

4-[(4-bromo-2-fluorophenyl)methyl]-3-butyl1-(2-chloro-5-nitrophenyl)- (9CI) (CA INDEX

Cl
n-Bu

RN 154057-02-0 CAPLUS
CN 1H-Pyrazole-5-carbonyl chloride, 4-[(4-bromo-2-fluorophenyl)methyl]-3-

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-05-3 CAPLUS
CN 1H-Pyrazole-5-carbonitrile, 1-(5-amino-2-chlorophenyl)-4-[(4-bromo-2-fluorophenyl)methyl]-3-butyl- (9CI) (CA INDEX NAME)

RN 154057-06-4 CAPLUS
CN Propanamide, N-[3-[4-[(4-bromo-2-fluorophenyl)methyl]-3-butyl-5-cyano-lH-pyrazol-1-yl]-4-chlorophenyl]- (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-07-5 CAPLUS
CN Propanamide, N-[3-[3-butyl-5-cyano-4-[[2'-[[(1,1-dimethylethyl)amino]sulfonyl]-3-fluoro[1,1'-biphenyl]-4-yl]methyl]-1H-pyrazol-1-yl]-4-chlorophenyl]- (9CI) (CA INDEX NAME)

RN 154057-08-6 CAPLUS
CN Propanamide, N-[3-[4-([2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]methyl]-3-butyl-5-cyano-1H-pyrazol-1-yl]-4-chlorophenyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 154057-23-5 CAPLUS
CN Benzamide,
3-[4-[[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]methyl]3-butyl-5-cyano-1H-pyrazol-1-yl]-N-butyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 154057-25-7 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[[1-(2-bromo-5-nitrophenyl)-3-butyl-5-cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro- (9CI) (CA INDEX NAME)

RN 154057-27-9 CAPLUS

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 154057-22-4 CAPLUS
CN Benzoic acid, 3-{4-{{2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]methyl]-3-butyl-5-cyano-1H-pyrazol-1-yl}-4-(trifluoromethyl)-, ethylester (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[[3-butyl-5-cyano-1-[5-nitro-2-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3'-fluoro-(9CI) (CA INDEX NAME)

RN 154057-28-0 CAPLUS

CN Benzamide,

N-[[4'-[(3-butyl-5-cyano-1-[5-nitro-2-(trifluoromethyl)phenyl]1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-2-chloro(9CI) (CA INDEX NAME)

RN 154057-29-1 CAPLUS

CN Benzamide,

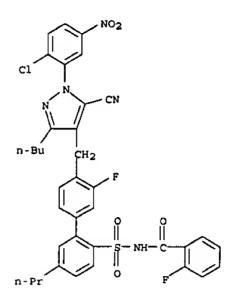
Kamal Saeed [[1-[5-amino-2-(trifluoromethyl)phenyl]-3-butyl-5-cyano-

ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS 1H-pyrazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-2-chloro-(9CI) (CA INDEX NAME)

154057-32-6 CAPLUS [1,1'-Biphenyl]-2-sulfonamide, 4'-([3-butyl-1-(2-chloro-5-nitrophenyl)-5cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro-5-propyl- (9CI) (CA INDEX NAME)

ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

ANSWER 12 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) 154057-33-7 CAPLUS RN Benzamide, N-[[4'-[[3-butyl-1-(2-chloro-5-nitrophenyl)-5-cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro-5-propyl[1,1'-biphenyl]-2-yl]sulfonyl]-2-fluoro-(9CI) (CA INDEX NAME)



154057-34-8 CAPLUS

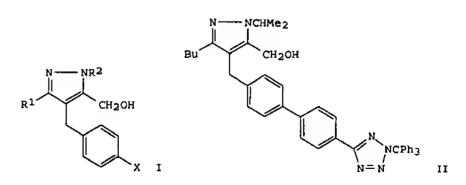
Benzamide,

N-[[4'-[[1-(5-amino-2-chlorophenyl)-3-butyl-5-cyano-1H-pyrazol-4-yl]methyl]-3'-fluoro-5-propyl[1,1'-biphenyl]-2-yl]sulfonyl]-2-fluoro-(9CI) (CA INDEX NAME)

L8 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1994:191713 CAPLUS DOCUMENT NUMBER: 120:191713 TITLE: Furanone intermediates in pharmaceutical pyrazole preparation INVENTOR(S): Watson, Stephen Paul PATENT ASSIGNEE (S): Glaxo Group Ltd., UK Brit. UK Pat. Appl., 30 pp. SOURCE: CODEN: BAXXDU DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. GB 2265900 GB 1993-7342 PRIORITY APPLN. INFO.: GB 1992-7591

MARPAT 120:191713

19920407



AB Title compds. I (R1 = H, C1-6 alkyl, C2-6 alkenyl; R2a = H, C1-6 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-4 alkyl, C3-6 alkenyl F-C1-6 alkyl, F-C3-6 alkenyl; X = H, halo, R4C6H4 wherein R4 = H2N, NC, protectant of CO2H or NH2, optionally protected C-linked tetrazolyl) useful for prepn. of pharmaceuticals (no data), are prepd. 2-Hexane was added to 1-{1,1-(dimethylethyl)dimethylsilyl]oxyacetate (prepn. given) to give 1-[1,1-(dimethylethyl)dimethylsilyl]oxy-2,4-octanedione which was reacted with 5-[4'-(bromomethyl)[1,1'-biphenyl]-2-yl]-2-(triphenylmethyl)-2Htetrazole to give the tetrazole deriv. which was treated with Bu4N+F- to give the desilylated furanone deriv, which in turn was treated with Me2CHNHNH2 to give the title compd. II.

153359-84-3P

OTHER SOURCE(S):

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as pharmaceutical)

153359-84-3 CAPLUS

1H-Pyrazole-5-methanol, 3-butyl-4-[(4-iodophenyl)methyl]-1-methyl- (9CI) (CA INDEX NAME)

Kamal Saeed

L8 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

144059-58-5P 144059-59-6P 144059-60-9P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as agrochem. fungicide)

RN 144059-52-9 CAPLUS

CN 2-Pyridinemethanol, .alpha.-[4-[(4-chlorophenyl)thio]-1,3-dimethyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 144059-53-0 CAPLUS
CN 2-Pyridinemethanol,
.alpha.-[4-[(2,4-dichlorophenyl)thio]-1,3-dimethyl-1Hpyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 144059-54-1 CAPLUS
CN 2-Pyridinemethanol, .alpha.-[4-[(3-fluoro-4-methylphenyl)thio]-1,3-dimethyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

L8 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:612492 CAPLUS
DOCUMENT NUMBER: 117:212492
TITLE: Preparation of substituted pyrazole derivatives as agroborticultural fungicides

agrohorticultural fungicides

INVENTOR(S): Nakajima, Yasuyuki; Watanabe, Junichi; Hirohara,
Yohji; Mita, Takeshi

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan SOURCE: PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 1991-JP1538 19911108 WO 9208715 A1 19920529 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE JP 05032662 A2 19930209 JP 1991-266474 19911015 EP 1991-919177 19911108 EP 556396 A1 19930825 EP 556396 B1 19950920 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE E 19951015 AT 1991-919177 AT 128130 19911108 ES 2077251 T3 19951116 ES 1991-919177 19911108 PRIORITY APPLN. INFO.: JP 1990-305340 19901109 JP 1991-94264 19910424

JP 1991-266474

19911015

19911108

WO 1991-JP1538 OTHER SOURCE(S): MARPAT 117:212492

AB The title compds. [I; R1 = H, halo, alkyl, alkoxy, alkylthio, haloalkyl; R2 = H, alkyl, haloalkyl, (substituted) phenylalkyl, etc.; X = S, S0, S(0)2, (substituted) imino, CO, (substituted) methylene; Y = O, S, SO, S(0)2; A = (substituted) Ph, (substituted) heterocyclyl; B = (substituted)

heterocyclyl] are prepd. 4-(4-Chlorophenylthio)-1,3-dimethyl-5-mercapto-1H-pyrazole was heated with 2-chloropyrimidine at 120 degree. for 1.5 h

give 4-(4-chlorophenylthio)-1,3-dimethyl-5-(2-pyrimidylthio)-1H-pyrazole. I were effective at the concn. of 0.005-50 kg/ha. Formulations including emulsions, aq. lotions, and oil-based prepns. are described.

IT 144059-52-9P 144059-53-0P 144059-54-1P 144059-55-2P 144059-56-3P 144059-57-4P

LB ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued

RN 144059-55-2 CAPLUS
CN Pyridine, 2-[{4-[(4-chlorophenyl)thio]-1,3-dimethyl-1H-pyrazol-5yl]methoxymethyl]- (9CI) (CA INDEX NAME)

RN 144059-56-3 CAPLUS
CN 2-Pyridinemethanol, .alpha.-[4-[(4-chlorophenyl)thio]-1,3-dimethyl-1H-pyrazol-5-yl]-, acetate (ester) (9CI) (CA INDEX NAME)

ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

144059-57-4 CAPLUS Pyridine, 2-[{4-[(4-chlorophenyl)thio}-1,3-dimethyl-1H-pyrazol-5yl)fluoromethyl)- (9CI) (CA INDEX NAME)

144059-58-5 CAPLUS Methanone, [4-[(4-chlorophenyl)thio]-1,3-dimethyl-1H-pyrazol-5-yl]-2pyridinyl- (9CI) (CA INDEX NAME)

ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

L8 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

144059-59-6 CAPLUS 2-Pyridinemethanol, .alpha.-{4-[(4-chlorophenyl)thio]-1,3-dimethyl-1Hpyrazol-5-yl]-.alpha.-methyl- (9CI) (CA INDEX NAME)

144059-60-9 CAPLUS 2-Pyridinemethanol, .alpha.-[4-[(4-chlorophenyl)thio]-1,3-dimethyl-1Hpyrazol-5-yl] -.alpha.-(1-methylethyl) - (9CI) (CA INDEX NAME)

L6 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1990:459122 CAPLUS DOCUMENT NUMBER:

113:59122

TITLE: Synthesis of 5-(4-pyrazolyl and 4-isoxazolyl)-1,3-

dihydro-2H-1,4-benzodiazepin-2-ones AUTHOR (S):

Kurihara, Takushi; Sasaki, Jun; Santo, Kazunori; Nakamura, Yutaka; Yoneda, Ryuji; Harusawa, Shinya

CORPORATE SOURCE: Osaka Univ. Pharm. Sci., Matsubara, 580, Japan SOURCE: Heterocycles (1989), 29(10), 2007-21

CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

GΙ

LANGUAGE: English

OTHER SOURCE(S): CASREACT 113:59122

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Reactions of pyrazolylanthranil I (X = NMe, R = Cl) with PhZnCl in the presence of nickel acetylacetonate gave anilinobenzoylpyrazole II (R1 = Ph, R2 = H). Isoxazolylanthranil I (X = O, R = Cl) under the same conditions gave a mixt. of II (R1 = Ph, R2 = H) and quinolone III. II (X = O, NMe; R = Cl, R1 = Ph, R2 = H) were converted to II (R2 = COCH2N3), which were cyclized with PPh3 to benzodiazepinones IV (X = 0, NMe, R =

R1 = Ph) via an aza-hitting reaction. Treating azido deriv. II (X = NAc, R = R1 = H, R2 = COCH2N3) with PPh3 gave II (R2 = COCH2N:PPh3), which cyclized in refluxing toluene to give IV (X = NAc, R = Cl, R1 = H). In contrast, the phosphinimine V (R3 = N:PPh3) prepd. from azide V (R3 = N3)

failed to cyclize under the same conditions. IT 127889-75-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and condensation reaction of, with sodium azide) 127889-75-2 CAPLUS

Acetamide, N-[4-chloro-2-[(1,3,5-trimethyl-1H-pyrazol-4yl)carbonyl]phenyl]-2-iodo-N-phenyl- (9CI) (CA INDEX NAME)

IT 127889-74-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

ANSWER 15 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) (Reactant or reagent) (prepn. and condensation reaction of, with sodium iodide)

127889-74-1 CAPLUS Acetamide, 2-chloro-N-[4-chloro-2-[(1,3,5-trimethyl-1H-pyrazol-4-

yl)carbonyl]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)

IT 127889-90-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of, benzodiazepine deriv. from)

127889-90-1 CAPLUS

Acetamide, N-[2-[(1-acetyl-3,5-dimethyl-1H-pyrazol-4-yl)carbonyl]-4chlorophenyl] -2-[(triphenylphosphoranylidene)amino] - (9CI) (CA INDEX

$$Ph_3P = N - CH_2 - C - NH$$

$$O$$

127889-76-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of, with triphenylphosphine, benzodiazepine deriv. from)

RN 127889-76-3 CAPLUS

L8 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1989:423322 CAPLUS

DOCUMENT NUMBER:

111:23322

TITLE:

Reaction

Five-membered 2,3-dioxo heterocycles. VIII. of 1-aryl-4-aroyl-5-methoxycarbonyl-2,3-dihydro-2,3-

pyrrolediones with secondary aliphatic amines

AUTHOR (S): Maslivets, A. N.; Smirnova, L. I.; Andreichikov, Yu.

CORPORATE SOURCE: Perm. Gos. Farm. Inst., Perm, USSR SOURCE: Zhurnal Organicheskoi Khimii (1988), 24(10), 2205-12

CODEN: ZORKAE; ISSN: 0514-7492

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 111:23322

AΒ Interaction of 5-methoxycarbonyl-2,3-dihydropyrrole-2,3-diones I (R = MeO

Me, H, Cl, Br, NO2, R1 = H; R = H, R1 = Me) with R22NH[R2 = PhCH2, Et,

Me; R22N = morpholino, piperidino) led to (Z)-3-pentenedioic acid derivs. II (same R's) and 5-methoxycarbonyl-3-hydroxy-2,5-dihydro-2-pyrrolones III (same R's). Factors influencing the yield ratio of II to III were studied. Acid hydrolysis of II and III gave 3,5-dihydroxy-2,5-dihydro-2pyrrolones IV (same R's) while hydrazinolysis gave pyrazolecarboxamides V and pyrazolecarboxanilides VI.

121275-82-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, via hydrazinolysis of exopentenedioic acid and dihydropyrrolone derivs.)

121275-82-9 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4-(4-chlorobenzoyl)-5-(4morpholinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME) ANSWER 15 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) Acetamide, 2-azido-N-[4-chloro-2-[(1,3,5-trimethyl-1H-pyrazol-4yl)carbonyl]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)

127889-73-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and N-acylation of, with chloroacetyl chloride)

127889-73-0 CAPLUS

Methanone, [5-chloro-2-(phenylamino)phenyl] (1,3,5-trimethyl-1H-pyrazol-4yl) - (9CI) (CA INDEX NAME)

ANSWER 16 OF 32 CAPLUS COPYRIGHT 2003 ACS

L8 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1987:636702 CAPLUS DOCUMENT NUMBER: 107:236702 Preparation of pyrrole- and pyrazolecarboxylates as TITLE: cardiotonics and calcium agonists INVENTOR (S): Baxter, Andrew John Gilby; Dixon, John; Ince, Francis; Springthorpe, Brian; Tinker, Alan Charles PATENT ASSIGNEE(S): Fisons PLC, UK SOURCE: Eur. Pat. Appl., 76 pp. CODEN: EPXXDW DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE ---------EP 230110 A1 19870729 EP 1986-309235 19861126 R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE JP 62181251 A2 19870808 JP 1986-282187 19861128 PRIORITY APPLN. INFO.: GB 1985-29557 19851130 GB 1985-29558 19851130 GB 1985-29563 19851130 GB 1985-29564 19851130 GB 1986-10218 19860425 GB 1986-16096 19860702 GB 1986-16097 19860702 GB 1986-16100 19860702 GB 1986-16101 19860702

GB 1986-16102

GB 1986-16103

GB 1986-21942

19860702

19860702

19860911

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The title compds. [I; R1 * H, alkyl; R3 * CH2NR5R6, COR7, NO2, cyano, halo; R4 = HBXn; H = (un)substituted Ph, naphthyl, benzofurazanyl; B = bond, alkylene; R5, R6 = H, (un)substituted alkyl, Ph; R7 = H, NR5R6, alkyl, OH, alkoxy; X = 0, S, SO, SO2, C:NOH; Y,Z = CH, CR2, CCO2R, N; R = CHalkyl; R2 = (un)substituted alkyl; n = 0, 1] were prepd. as cardiotonics and calcium agonists no data). Dimethylpyrrolecarboxylate I (R1 = R4 =

R3 = CO2Me, Y = Z = CMe) (2.78 g) in CH2Cl2 were added to AlCl3/CH2Cl2 at 0.degree. followed by 3.50 g 2-ClC6H4COCl and the mixt. stirred 17 h to give 3.75 g I (R1 \pm H, R3 \pm CO2Me, R4 \pm 2-ClC4H4CO, Y \pm Z \pm CMe). 111595-86-9P 111619-14-8P

L8 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1987:402698 CAPLUS

DOCUMENT NUMBER: 107:2698

TITLE:

Herbicide compositions of extended soil life containing thiolcarbamates and phosphonothioates

INVENTOR (S): Gray, Reed A.; Hyazk, Daniel L. PATENT ASSIGNEE(S): Stauffer Chemical Co., USA

U.S., 9 pp. Cont.-in-part of U.S. Ser. N. 496,781, SOURCE:

abandoned. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 19870310 US 4648894 US 1984-649779 19840912 Α PRIORITY APPLN. INFO.: US 1980-163617 19800627 US 1982-358979 19830520 US 1983-496781

The persistence of the thiolcarbamate herbicides R1SCONR2R3 (R1, R2, R3 = C2-4 alkyl) is extended by phosphonothioates R4R5P(:S)(S)nR6 [R4 = C1-4]alkyl or alkoxy; R5 = C1-4 alkoxy or alkylthio; R6 = (un)substituted imidazolyl, etc.; n = 0, 1]. When 6 ppm EPTC was incorporated into soil, the residue after 2 days was 0.12 ppm. In the presence of 4 ppm phosphonothicate I, however, the corresponding residue was 0.56 ppm.

ΙT 108702-72-3 RL: BIOL (Biological study)

(extender, for thiolcarbamate herbicides) 108702-72-3 CAPLUS

Phosphonothioic acid,

[4-[-(4-chlorophenyl)thio]-3,5-dimethyl-1H-pyrazol-1yll-, O,O-diethyl ester (9CI) (CA INDEX NAME)

ANSWER 17 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as cardiotonic and calcium agonist) 111595-86-9 CAPLUS 1H-Pyrazole-3-carboxylic acid, 4-(2-chlorobenzoyl)-5-methyl-, methyl ester (9CI) (CA INDEX NAME)

111619-14-8 CAPLUS CN 1H-Pyrazole-3,5-dicarboxylic acid, 4-(2-chlorobenzoyl)-, 1-ethyl 5-methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

L8 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1985:523404 CAPLUS

DOCUMENT NUMBER: 103:123404

Chemistry of heterocycles: part VIII - synthesis of TITLE: isoxazolylethylpyrazoles Reddi, K. Malla; Rao, C. Janakirama; Murthy, A.

AUTHOR (S): Krishna

CORPORATE SOURCE: Dep. Chem., Kakatiya Univ., Warangal, 506 009, India SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1985),

24B(2), 212-13 CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 103:123404

The base-catalyzed addn. of acetylacetone to 3-methyl-4-nitro-5styrylisoxazoles leads to the Michael adducts 3-[2-(3-methyl-4-nitro-5isoxazolyl)-1-phenylethyl)pentane-2,4-diones. These .beta.-diketones undergo cyclization with hydrazine sulfate and phenylhydrazine to furnish pyrazoles I [R = (un) substituted Ph, R1 = H, Ph].

98239-36-2P 98239-42-0P 98239-43-1P 98239-46-4P 98239-47-5P 98239-53-3P

98254-35-4P 98735-01-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

98239-36-2 CAPLUS

Isoxazole,

5-[2-(2-chlorophenyl)-2-(3,5-dimethyl-1H-pyrazol-4-yl)ethyl]-3methyl-4-nitro- (9CI) (CA INDEX NAME)

LB ANSWER 19 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

98239-47-5 CAPLUS

Isoxazole, 5-{2-(2-bromophenyl)-2-(3,5-dimethyl-1-phenyl-1H-pyrazol-4yl)ethyl]-3-methyl-4-nitro- (9CI) (CA INDEX NAME)

98239-53-3 CAPLUS

Isoxazole,

5-[2-(2,4-dichlorophenyl)-2-(3,5-dimethyl-1-phenyl-1H-pyrazol-4-

yl)ethyl]-3-methyl-4-nitro- (9CI) (CA INDEX NAME)

98254-35-4 CAPLUS

Isoxazole, 5-[2-(2-bromophenyl)-2-(3,5-dimethyl-1H-pyrazol-4-yl)ethyl]-3methyl-4-nitro- (9CI) (CA INDEX NAME)

98735-01-4 CAPLUS

ANSWER 19 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

98239-42-0 CAPLUS

Isoxazole, 5-[2-(2,4-dichlorophenyl)-2-(3,5-dimethyl-1H-pyrazol-4yl)ethyl]-3-methyl-4-nitro- (9CI) (CA INDEX NAME)

98239-43-1 CAPLUS

Isoxazole, 5-[2-(2,6-dichlorophenyl)-2-(3,5-dimethyl-1H-pyrazol-4yl)ethyl]-3-methyl-4-nitro- (9CI) (CA INDEX NAME)

RN 98239-46-4 CAPLUS

Isoxazole, 5-[2-(2-chlorophenyl)-2-(3,5-dimethyl-1-phenyl-1H-pyrazol-4yl)ethyl]-3-methyl-4-nitro- (9CI) (CA INDEX NAME)

ANSWER 19 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

Isoxazole, 5-[2-(2,6-dichlorophenyl)-2-(3,5-dimethyl-1-phenyl-1H-pyrazol-4-

yl)ethyl]-3-methyl-4-nitro- (9CI) (CA INDEX NAME)

ANSWER 20 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1985:113486 CAPLUS DOCUMENT NUMBER: 102:113486

TITLE: Pyrazoles Sankyo Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 10 pp. PATENT ASSIGNEE(S): SOURCE:

CODEN: JKXXAF DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE PATENT NO. ------------JP 59196869 A2 19841108 JP 1983-71242 19830422 JP 04020910 **B4** 19920407 PRIORITY APPLN. INFO.: JP 1983-71242 19830422

CASREACT 102:113486 OTHER SOURCE(S):

AB The title compds. I (R = OXNR2R3 where X = alkylene, R2 = H, alkyl,alkenyl, arylalkyl, R3 = alkyl, alkenyl, Ph; R1 = substituted phenyl), having herbicidal activity at .gtoreq.6.25 g/a, were prepd. by condensation of I (R = halo) with HOXNR2R3. Thus, heating a mixt. of 2

HOCH2CH2NHPh, 0.03 g Na, and 1.3 g I (R = Cl, R1 = 2,4-Cl2C6H3) at 100-110.degree. for 3 h under distn. of excess HOCH2CH2NHPh gave 0.92 g I (R = OCH2CH2NHPh, R1 = C6H3Cl2-2,4).

95115-05-2P 95115-06-3P 95115-07-4P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

95115-05-2 CAPLUS

Methanone, [5-(2-bromoethyl)-1,3-dimethyl-1H-pyrazol-4-yl](2,4-

dichlorophenyl) - (9CI) (CA INDEX NAME)

(Continued)

ANSWER 20 OF 32 CAPLUS COPYRIGHT 2003 ACS

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L8 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

95115-06-3 CAPLUS Methanone, [5-(3-bromopropyl)-1,3-dimethyl-1H-pyrazol-4-yl)(2,4dichlorophenyl) - (9CI) (CA INDEX NAME)

95115-07-4 CAPLUS Methanone, [5-(4-bromobutyl)-1,3-dimethyl-1H-pyrazol-4-yl](2,4dichlorophenyl) - (9CI) (CA INDEX NAME)

L8 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1982:522069 CAPLUS

DOCUMENT NUMBER: 97:122069 TITLE:

Herbicide composition for rice PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.

CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ------JP 57081401 A2 19820521 JP 1980-157843 19801110 PRIORITY APPLN. INFO JP 1980-157843

Compns. contg. S-1-ethylpropyl-N,N-hexanethylenethiolcarbamate (I) [75013-55-7] and one or more of 1,3-dimethyl-4-(2,4-dichlorobenzoyl)-5phenacyloxypyrazole (II) [71561-11-0], 1,3-dimethyl-4-(2,4dichlorobenzoyl)-5-(4-methylphenacyloxy)pyrazole [71561-18-7], 1,3-dimethyl-4-(2,4-dichlorobenzoyl)-5-pivaloylmethylpyrazole [82934-46-1], and 1,3-dimethyl-4-(2,4-dichlorobenzoyl-5-p-

19801110

toluenesulfonyloxypyrazole [58011-68-0] are herbicides, esp. for rice. Thus, a compn. contg. I and II (20 + 15 g/are) controlled Echinochloa crus-galli, Scirpus hotarui, Cyperus serotinus, and broad-leaf weeds in rice by 100% in 30 days.

82934-46-1 RL: BIOL (Biological study)

(herbicide compn. contg., for rice) 82934-46-1 CAPLUS

2-Butanone, 1-[4-(2,4-dichlorobenzoyl)-1,3-dimethyl-1H-pyrazol-5-yl]-3,3-dimethyl- (9CI) (CA INDEX NAME)

ANSWER 22 OF 32 CAPLUS COPYRIGHT 2003 ACS 1982:419045 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 97:19045 Phenylacetamides and pyrazole derivatives as TITLE: herbicides PATENT ASSIGNEE(S): Idemitsu Kosan Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 12 pp. SOURCE: CODEN: JKXXAF DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: APPLICATION NO. DATE PATENT NO. KIND DATE -----JP 57032206 A2 19820220 JP 1980-107662 19800807 JP 58012242 B4 19830307 JP 57102806 A2 19820626 JP 1981-176454 19811105

phenyl- (9CI) (CA INDEX NAME)

PRIORITY APPLN. INFO.:

A compn. contg. N-(.alpha.,.alpha.-dialkylbenzyl)phenylacetamides I (X1 and X2 = halo, C1-3 alkyl, C1-3 alkoxy, or H; R1 = C1-3 alkoxy or H; R2 = C1-3 alkyl, C2-6 alkoxyalkyl, allyl, or H; R3 and R4 = C1-4 alkyl; n =1-3) and pyrazole derivs. is a herbicide for rice. Thus, I (X1 = 2-C1 X2)= 4-Cl; n = 1; R1 and R2 = H; R3 and R4 = Me) [80487-99-6] and 4-(2,4-dichlorobenzoyl)-1,3-dimethylpyrazol-5-yl-4-toluenesulfonate [58011-68-0] (100 +]00 g/10 are) controlled Echinochloa crus-galli, Cyperus microiria, Scirpus hotarui, Eleocharis acicularia, Sagittaria pygmaea, and Cyperus serotinus in rice. 81860-B4-6 ΙT RL: BIOL (Biological study) (herbicides contg. acetamides and) 81860-84-6 CAPLUS

Ethanone, 2-[4-(2,4-dichlorobenzoyl)-1,3-dimethyl-1H-pyrazol-5-yl]-1-

JP 1980-107662

L8 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1982:406294 CAPLUS

DOCUMENT NUMBER: 97:6294

1,3-Dimethyl-4-(2,9-dichlorobenzoyl)-5-substituted carbonylmethoxypyrazole

PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp. CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 57031666 19800801 **A**2 19820220 JP 1980-105947 PRIORITY APPLN. INFO.: JP 1980-105947 19800801 The herbicidal (no data) title compds. were prepd. by reaction of 1,3-dimethyl-4-(2,4-dichlorobenzoyl)-5-hydroxypyrazole (I) with ClCH2COR [R = (substituted) Ph, (halogenated) Me3C]. Thus, refluxing a mixt. of MeCN 15 mL, I 2.0, PhCOCH2Cl 1.1, K2CO3 1.0, and KI 0.0 6 g for 1 h gave $\textbf{2.7 g 1,3-dimethyl-4-(2,4-dichlorobenzoyl)-5-(phenacyloxy)\,pyrazole.}$ 81842-70-8P ΙT RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of) 81842-70-8 CAPLUS

RN CN 1-Propanone,

1-[4-(2,4-dichlorobenzoyl)-1,3-dimethyl-1H-pyrazol-5-yl]-2,2-

dimethyl- (9CI) (CA INDEX NAME)

ANSWER 22 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

L8 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1981:550653 CAPLUS DOCUMENT NUMBER: 95:150653

4-Benzoyl-5-hydroxypyrazoles TITLE: PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp. CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND DATE ---- ----------JP 56043271 A2 19810421 JP 1979-118043 19790914 PRIORITY APPLN. INFO.: JP 1979-118043 19790914

III

AB 4-Benzoyl-5-hydroxypyrazoles I (R, R1, R2 = Me, C1, C1; Me, C1, NO2; Me, NO2, Cl; Me2CH, Cl, Cl; Me, Cl, SO2Me) were prepd. by reaction of II with III in the presence of AlCl3. Thus, a mixt. of II (R = Me, R1 = R2 = C1) 2, III (R = Me) 0.5, and AlCl3 1.8 g in CH2Cl2 was refluxed 2 h to give

79220-47-6 RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with hydroxypyrazole)

81% I (R = Me, R1 = R2 = C1).

79220-47-6 CAPLUS

Methanone, (1,3-dimethyl-1H-pyrazole-4,5-diyl)bis((2,4-dichlorophenyl)-

(9CI) (CA INDEX NAME)

ANSWER 24 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

ANSWER 25 OF 32 CAPLUS COPYRIGHT 2003 ACS

L8 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1980:420752 CAPLUS DOCUMENT NUMBER: 93:20752 TITLE: Synergistic rice paddy herbicides INVENTOR (S): Konotsune, Takao; Kawakubo, Katsuhiko; Honma, Toyokuni PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp. CODEN: JKXXAF DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE **---**JP 55035038 JP 1978-108387 A2 19800311 19780904

JP 61016247 B4 19860428 JP 60214712 A2 19851028 JP 1985-43500 19850305 JP 63027321 19880602 PRIORITY APPLN. INFO.: JP 1978-108387 19780904

A compn. contg. 1-(.alpha.,.alpha.-dimethylbenzyl)-3-(p-tolyl)urea (A) [42609-52-9] and pyrazoles I (X = H, 4-toluenesulfonyl or CH2nY where Y = alkoxy, alkylthio, alkoxycarbonyl, acyl, or substituted Ph or benzoyl) is a synergistic rice paddy herbicide. Thus, a compn. contg. 1,3-dimethyl-4-(2,4-dichlorobenzoyl)-5-hydroxypyrazole [58010-98-3] (14

3 g/are) controlled Echniochloa crus-galli, Scirpus juncoides, Sagittaria pygmaea, Cyperus serotinus, and other broad-lead weeds in rice. Either one of the components alone failed to control all of the weeds. Prep. data is given.

74109-78-7 RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses) (synergistic herbicidal compn. contg.)

74109-78-7 CAPLUS

2-Propanone, 1-[4-(2,4-dichlorobenzoyl)-1,3-dimethyl-1H-pyrazol-5-yl]-(9CI) (CA INDEX NAME)

L8 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1980:175648 CAPLUS DOCUMENT NUMBER: 92:175648 TITLE: A mechanism of chlorosis caused by 1,3-dimethyl-4-(2,4-dichlorobenzoyl)-5hydroxypyrazole, a herbicidal compound AUTHOR (S): Kawakubo, Katsuhiko; Shindo, Masahiro; Konotsune, Takuo CORPORATE SOURCE: Agric. Chem. Res. Lab., Sankyo Co., Ltd., Yasu, Japan SOURCE: Plant Physiology (1979), 64(5), 774-9

CODEN: PLPHAY; ISSN: 0032-0889 DOCUMENT TYPE: Journal English

LANGUAGE: GΙ

In org. solvents, 1,3-dimethyl-4-(2,4-dichlorobenzoyl)-5-hydroxypyrazole (I) [58010-98-3] converted chlorophyll a [479-61-8] and b [479-61-8] extd. from rice seedlings (Oryza sativa) into pheophytin a [603-17-8]

b [3147-18-0], resp. On comparing the chlorophyll-converting activity

of I with those of acetic, glycolic, 2,4-dichlorobenzoic, monochloroacetic, 2,6-dichlorobenzoic, pyruvic, and dichloroacetic acids, it was demonstrated that I induced H+ into chlorophyll specifically. 5-Hydroxypyrazoles, which seem to be dissociable, converted chlorophyll into pheophytin in vitro. These compds. also induced chlorosis in sedge seedlings (Cyperus serotinus), when the seedlings were grown in media contg. these compds. However, 5-hydroxypyrazoles, which seem to be undissociable, and analogs having no hydroxy group caused neither the chlorophyll conversion in vitro nor chlorosis in the seedlings.

Chlorosis in barnyardgrass seedlings (Echinochloa crus-galli) induced by I was reversed by cultivating the seedlings in media contg. I plus NaOH, KOH, NH4OH, Ca(OH)2, Na acetate [127-09-3], Na pyruvate [113-24-6], Na succinate [113-24-6], or Na fumarate [14047-56-4]. Accumulation of the vinylpheoporphyrin [72619-82-0] fraction in 4-day-old eticlated radish cotyledons (Raphanus sativus) was enhanced by incubating the cotyledons with .delta.-aminolevulinic acid [106-60-5] in the dark. However, simultaneous treatment with .delta.-aminolevulinic acid and I reduced accumulation of the fraction and promoted formation of the uro [26316-36-9], copro [14643-66-4], and protoporphyrin [27121-71-7] fractions. I blocks the synthesis of protochlorophyllide in intact

and induces consequent chlorosis. The H+-donating activity of I might cause the redn. of protochlorophyllide biosynthesis. 72619-87-5

RL: BIOL (Biological study) (pheophytin formation by action of, from chlorophyll) 72619-87-5 CAPLUS

Kamal Saeed

L8 . ANSWER 26 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) Methanone, (2,4-dichlorophenyl) (1,3,5-trimethyl-1H-pyrazol-4-yl) - (9CI) (CA INDEX NAME)

1978:563486 CAPLUS 89:163486 TITLE:

L8 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: DOCUMENT NUMBER:

1,4- and 1,7-Addition reactions of 4-(substituted benzylidene)-3,5-dimethylisopyrazoles

AUTHOR(S): Kurihara, Takushi; Sakamoto, Yasuhiko; Sakaguchi,

Toshiko; Hirano, Hiroshi

CORPORATE SOURCE: Osaka Coll. Pharm., Osaka, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1978), 26(4), 1141-6

CODEN: CPBTAL; ISSN: 0009-2363 DOCUMENT TYPE: Journal

LANGUAGE: English ĢĪ

2-NO2) with AcCl, BzCl or EtO2CCl in the absence of pyridine gave the pyrazolylanthranils III (R3 = Ac, Bz, EtO2C; resp.) via 1,7-addn. of the

57412-15-4P 67714-66-3P 67714-68-5P 67714-69-6P 67714-72-1P 67714-75-4P 67714-76-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

III

57412-15-4 CAPLUS

1H-Pyrazole, 4-[(2-chlorophenyl)methoxymethyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

ANSWER 27 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

67714-66-3 CAPLUS 1H-Pyrazole-4-methanol, 1-acetyl-.alpha.-(2-chlorophenyl)-3,5-dimethyl-, acetate (ester) (9CI) (CA INDEX NAME)

67714-68-5 CAPLUS 1H-Pyrazole-4-methanol, 1-acetyl-.alpha.-(2-chlorophenyl)-3,5-dimethyl-(9CI) (CA INDEX NAME)

67714-69-6 CAPLUS 1H-Pyrazole-4-methanol, 1-benzoyl-.alpha.-(2-chlorophenyl)-3,5-dimethyl-(9CI) (CA INDEX NAME)

ANSWER 27 OF 32 CAPLUS COPYRIGHT 2003 ACS

67714-72-1 CAPLUS 1H-Pyrazole-1-carboxylic acid, 4-[(2-chlorophenyl)hydroxymethyl]-3,5dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

67714-75-4 CAPLUS 1H-Pyrazole-4-methanol, .alpha.-(2-chlorophenyl)-3,5-dimethyl-1-[(4methylphenyl)sulfonyl] - (9CI) (CA INDEX NAME)

67714-76-5 CAPLUS

1H-Pyrazole-4-methanol, 1-benzoyl-.alpha.-(2-chlorophenyl)-3,5-dimethyl-, CN

L8 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued) benzoate (ester) (9CI) (CA INDEX NAME)

L8 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued , methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1978:546684 CAPLUS DOCUMENT NUMBER: 89:146684 TITLE: Molecular structure of azines of 3-acetyl-4-hydroxy-2methoxy-4-phenylcrotonic acid lactones AUTHOR(S): Kurihara, Takushi; Sakamoto, Yasuhiko; Mori, Masanobu; Sakaki, Toshimasa CORPORATE SOURCE: Osaka Coll. Pharm., Osaka, Japan SOURCE: Heterocycles (1978), 9(8), 1041-6 CODEN: HTCYAM; ISSN: 0385-5414 DOCUMENT TYPE: Journal LANGUAGE: English

AB Treatment of I (R = H, Cl) with N2H4.2HCl gave a mixt. of the corresponding II and III. Crystal structures of II (R = Cl) and III (R = Cl) were detd.

IT 67735-39-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 67735-39-1 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid,
4-[(2-chlorophenyl)methoxymethyl]-5-methyl-

L8 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1975:514283 CAPLUS DOCUMENT NUMBER: 83:114283 TITLE: Molecular structure and chemical reactivities of the condensation products of o-substituted benzylidenacetylacetone with hydrazine dihydrochloride Kurihara, Takushi; Sugiyama, Mariko; Hirano, Hiroshi; AUTHOR (S): Tomita, Kenichi; Sakaki, Masayoshi CORPORATE SOURCE: Osaka Coll. Pharm., Osaka, Japan SOURCE: Journal of Heterocyclic Chemistry (1975), 12(3), 541-5 CODEN: JHTCAD; ISSN: 0022-152X DOCUMENT TYPE: Journal LANGUAGE: English GI For diagram(s), see printed CA Issue. Reaction of o-O2NC6H4CH:C(COMe)2 with H2NNH2.HCl in MeOH gave 4-(.alpha.-methoxy-o-nitrobenzyl)-3,5-dimethylpyrazole hydrochloride (I, HCl), whose structure was unambigously confirmed by an X-ray crystallog. analysis, via 4-(o-nitrobenzylidene)-3,5-dimethylisopyrazole II. II was synthesized by condensation of O-O2NC6H4CH : C(COMe)2 with H2NNH2.2HCl in MeCN. Analogously the corresponding o-chloro derivatives were obtained. These were converted to N-methyl and N-acetyl derivatives. IT 57412-15-4P 57412-17-6P 57412-19-8P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) 57412-15-4 CAPLUS CN 1H-Pyrazole, 4-[(2-chlorophenyl)methoxymethyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

RN 57412-17-6 CAPLUS
CN 1H-Pyrazole, 4-[(2-chlorophenyl)methoxymethyl)-1,3,5-trimethyl- (9CI)

Kamal Saeed

INDEX NAME)

L8 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 57412-19-8 CAPLUS
CN 1H-Pyrazole, 1-acetyl-4-[(2-chlorophenyl)methoxymethyl]-3,5-dimethyl(9CI) (CA INDEX NAME)

L8 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2003 ACS (Continued)

ACCESSION NUMBER: 1972:552091 CAPLUS DOCUMENT NUMBER: 77:152091 New rearrangement reaction leading to TITLE: dihydropyridazinone derivatives AUTHOR (S): Fusco, Raffaello; Dalla Croce, Piero CORPORATE SOURCE: Ist. Chim. Ind., Univ. Milano, Milan, Italy SOURCE: Gazzetta Chimica Italiana (1972), 102(6), 431-44 CODEN: GCITA9; ISSN: 0016-5603 DOCUMENT TYPE: Journal LANGUAGE: English GI For diagram(s), see printed CA Issue. Seven 4,5-dihydro-3-pyridazinones (I, R = CO2Me, CO2Et, Ph, etc.; R1 = substituted phenyl) were prepd. by refluxing the

L8 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2003 ACS

4-phenacylidene-5-hydroxy2-pyrazolines (II) in PhMe. I-structures were confirmed by anal., ir,
NMR, and some chem. reactions. On the basis of the kinetic measurements
of the reaction a mechanism of the rearrangement is suggested.

IT 37915-36-9P 37915-37-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

1H-Pyrazole-3-carboxylic acid, 4,5-bis(2,6-dichlorobenzoyl)-1-phenyl-,
ethyl ester (9CI) (CA INDEX NAME)

RN 37915-36-9 CAPLUS

RN 37915-37-0 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 4,5-bis(2-chlorobenzoyl)-1-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1964:411196 CAPLUS DOCUMENT NUMBER: 61:11196 ORIGINAL REFERENCE NO.: 61:1807e-g TITLE: Formation of pyrophosphate from quinol phosphates in dimethylformamide solution AUTHOR (S): Lapidot, Aviva; Samuel, David CORPORATE SOURCE: Weizmann Inst. Sci., Rehovoth, Israel SOURCE: J. Am. Chem. Soc. (1964), 86(9), 1886-7 CODEN: JACSAT; ISSN: 0002-7863 DOCUMENT TYPE: Journal LANGUAGE: Unavailable AB Upon addn. of excess Br to a dry HCONMe2 soln. of I, 52.5% PO43- and P2014- was liberated. Similar treatment of I or II in the presence of added (Bu4N) 2HPO4 gave 68-9% PO43- and 31-2% P2O77-. The same reaction with I in the presence of180-labeled (NBu4)3PO4 gave 11.1, 5.1, and 3.9 atom-% excess 180 in added PO43-, product PO43-, and product P2077-, resp. With II the same products were formed with 21.4, 12.8, and 8.0 atom-% excess 180, resp. The data are consistent with two pathways for the breakdown of quinol phosphate by Br in dry HCONMe2 involving both P-O and C-O bond fission. IT 91721-17-4, Pyrazole, 4-(o-chlorobenzyl)-3,5-dimethyl-(prepn. of) 91721-17-4 CAPLUS CN Pyrazole, 4-(o-chlorobenzyl)-3,5-dimethyl- (7CI) (CA INDEX NAME)

L8 ANSWER 32 OF 32 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1964:411195 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 61:11195 ORIGINAL REFERENCE NO.: 61:1807d-e TITLE: Cyclization of o-chlorophenyl-.beta.-dicarbonyl compounds through dicarbanion-benzyne intermediates Harris, Thomas M.; Hauser, Charles R. Duke Univ., Durham, NC AUTHOR (S): CORPORATE SOURCE: SOURCE: J. Org. Chem. (1964), 29(6), 1391-4 CODEN: JOCEAH; ISSN: 0022-3263 DOCUMENT TYPE: Journal LANGUAGE: Unavailable For diagram(s), see printed CA Issue. Bunnett's principle of ring closure involving the intramol, reaction of AB an anion with the benzyne moiety was adapted to certain cyclizations in which the terminal Me group of an o-chlorophenyl .beta.-diketone or .beta.-oxoaldehyde was condensed with the aromatic ring through a dicarbanion-benzyne intermediate. The cyclizations, effected by excess KNH2 in liquid NH3, afforded, e.g. I and II. IT 91721-17-4, Pyrazole, 4-(o-chlorobenzyl)-3,5-dimethyl-(prepn. of) 91721-17-4 CAPLUS CN Pyrazole, 4-(o-chlorobenzyl)-3,5-dimethyl- (7CI) (CA INDEX NAME)

